10518612 and 10519219

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

| | | |
|---|---|---|
| NEWS 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS 2 | | "Ask CAS" for self-help around the clock |
| NEWS 3 | AUG 09 | INSPEC enhanced with 1898-1968 archive |
| NEWS 4 | AUG 28 | ADISCTI Reloaded and Enhanced |
| NEWS 5 | AUG 30 | CA(SM)/CAplus(SM) Austrian patent law changes |
| NEWS 6 | SEP 11 | CA/CAplus enhanced with more pre-1907 records |
| NEWS 7 | SEP 21 | CA/CAplus fields enhanced with simultaneous left and right truncation |
| NEWS 8 | SEP 25 | CA(SM)/CAplus(SM) display of CA Lexicon enhanced |
| NEWS 9 | SEP 25 | CAS REGISTRY(SM) no longer includes Concord 3D coordinates |
| NEWS 10 | SEP 25 | CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine |
| NEWS 11 | SEP 28 | CEABA-VTB classification code fields reloaded with new classification scheme |
| NEWS 12 | OCT 19 | LOGOFF HOLD duration extended to 120 minutes |
| NEWS 13 | OCT 19 | E-mail format enhanced |
| NEWS 14 | OCT 23 | Option to turn off MARPAT highlighting enhancements available |
| NEWS 15 | OCT 23 | CAS Registry Number crossover limit increased to 300,000 in multiple databases |
| NEWS 16 | OCT 23 | The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded |
| NEWS 17 | OCT 30 | CHEMLIST enhanced with new search and display field |
| NEWS 18 | NOV 03 | JAPIO enhanced with IPC 8 features and functionality |
| NEWS 19 | NOV 10 | CA/CAplus F-Term thesaurus enhanced |
| NEWS 20 | NOV 10 | STN Express with Discover! free maintenance release Version 8.01c now available |
| NEWS 21 | NOV 13 | CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role |
| NEWS 22 | NOV 20 | CAS Registry Number crossover limit increased to 300,000 in additional databases |
| NEWS 23 | NOV 20 | CA/CAplus to MARPAT accession number crossover limit increased to 50,000 |
| NEWS 24 | DEC 01 | CAS REGISTRY updated with new ambiguity codes |
| NEWS 25 | DEC 11 | CAS REGISTRY chemical nomenclature enhanced |
| NEWS 26 | DEC 14 | WPIDS/WPINDEX/WPIX manual codes updated |
| NEWS 27 | DEC 14 | GBFULL and FRFULL enhanced with IPC 8 features and functionality |

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

| | |
|---|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 |
| NEWS X25 | X.25 communication option no longer available |

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Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 10:04:49 ON 18 DEC 2006

=> fil reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 DEC 2006 HIGHEST RN 915749-75-6
DICTIONARY FILE UPDATES: 15 DEC 2006 HIGHEST RN 915749-75-6

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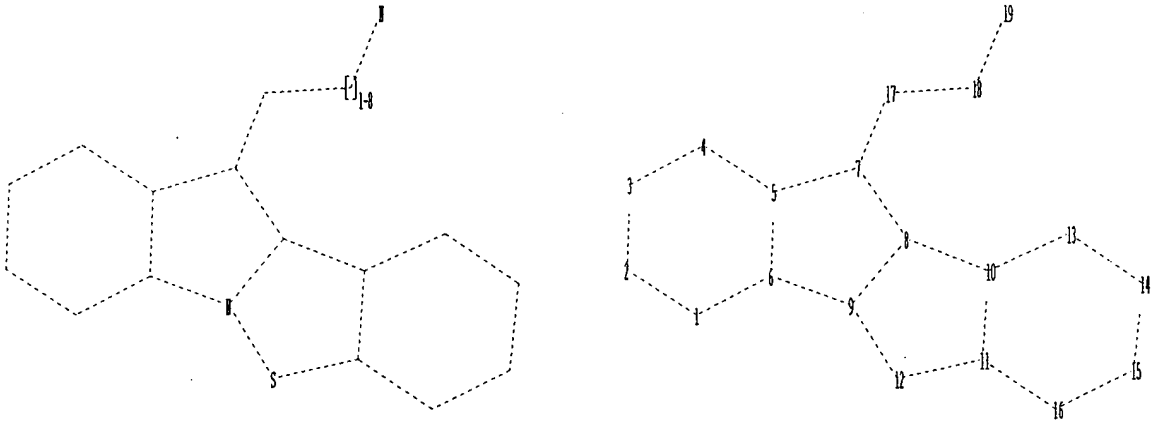
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10519219.str

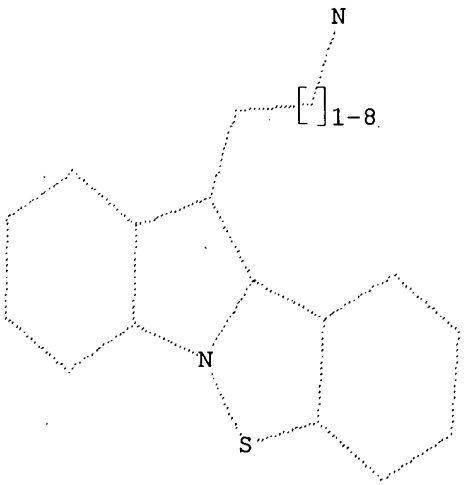


chain nodes :
17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
ring/chain nodes :
19
chain bonds :
7-17 17-18 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 8-10 9-12 10-11 10-13 11-12
11-16 13-14 14-15 15-16
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-17 8-9 8-10 9-12 10-11 10-13
11-12 11-16 13-14 14-15 15-16 17-18 18-19

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR




Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 10:05:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

10518612 and 10519219

PROJECTED ITERATIONS: 4 TO 200
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 10:06:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 68 TO ITERATE

100.0% PROCESSED 68 ITERATIONS 55 ANSWERS
SEARCH TIME: 00.00.01

L3 55 SEA SSS FUL L1

=> fil hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 167.38 167.59

FILE 'HCAPLUS' ENTERED AT 10:06:08 ON 18 DEC 2006
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FILE COVERS 1907 - 18 Dec 2006 VOL 145 ISS 26
FILE LAST UPDATED: 17 Dec 2006 (20061217/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 1 L3

=>
=> d edu ibib abs hitstr
'EDU' IS NOT A VALID FORMAT FOR FILE 'HCAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing

```
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field
codes.  For a list of the display field codes, enter HELP DFIELDS at
an arrow prompt (=>).  Examples of formats include: TI; TI,AU; BIB,ST;
TI,IND; TI,SO.  You may specify the format fields in any order and the
information will be displayed in the same order as the format
specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR,
FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC
to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):end

=> d ed abs ibib hitstr
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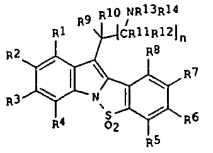
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 02 Jan 2004
GI



I

AB The title compds. [I; R1-R12 = H, halo, oxo, thio, etc.; or the adjacent groups like R1 and R2 together with carbon atoms to which they are attached may form 5-7 membered ring which may further contain one or more double bonds and/or one or more heteroatoms such as O, N, S, Se; or R9 and R10 or R11 and R12 together represent double bond attached to O or S; or R9 and R10 or R11 and R12 together with the carbon atoms to which they are attached may form 3-6 membered ring which may further contain one or more double bonds, and/or one or more heteroatoms such as O, N, S or Se; R13, R14 = H, alkyl, alkenyl, cycloalkyl, aryl, etc.; or NR13R14 = 3-7 membered heterocyclyl; n = 1-8], useful for treating conditions where a modulation of 5-HT activity is desired (no data given), were prepared Thus, reacting 1-(2'-bromophenylsulfonyl)-N,N-dimethylacetamide in the presence of PdCl2[P(o-tolyl)3]2 and AcOK afforded 6-(2-N,N-dimethylaminoethyl)benzo[d]isothiazolo[3,2-a]indole-5,5-dioxide. This invention also relates to processes for preparing compds I, compns. containing effective amts. of compound I and the use of such compound/composition in therapy.

ACCESSION NUMBER: 2004:2891 HCAPLUS
DOCUMENT NUMBER: 140:77139
TITLE: Preparation of novel tetracyclic arylsulfonyl indoles having serotonin receptor affinity
INVENTOR(S): Jasti, Venkateswarlu; Ramakrishna, Venkata Satya Nirogi; Kambhampati, Rama Sastri; Battula, Srinivasa Reddy; Veeraraeddy, Arava; Rao, Venkata Satya Veerabhadra Vadlamudi
PATENT ASSIGNEE(S): Suven Pharmaceuticals Ltd., India; Suven Life Sciences Ltd.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004000849 | A2 | 20031231 | WO 2003-IN222 | 20030619 |

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | | | | |
|---|---|---|---|---|---|
| WO 2004000849 | A3 | 20040325 | | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2490254 | A1 | 20031231 | CA 2003-2490254 | | 20030619 |
| AU 2003249582 | A1 | 20040106 | AU 2003-249582 | | 20030619 |
| BR 2003012176 | A | 20050405 | BR 2003-12176 | | 20030619 |
| EP 1523486 | A2 | 20050420 | EP 2003-760857 | | 20030619 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1662544 | A | 20050831 | CN 2003-814602 | | 20030619 |
| JP 2005535621 | T | 20051124 | JP 2004-515418 | | 20030619 |
| US 2005203154 | A1 | 20050915 | US 2005-519219 | | 20050513 |
| PRIORITY APPLN. INFO.: | | | IN 2002-MA478 | A | 20020621 |
| | | | WO 2003-IN222 | W | 20030619 |

OTHER SOURCE(S): MARPAT 140:77139

IT 639793-97-8P 639794-00-6P 639794-03-9P
639794-06-2P 639794-09-5P 639794-12-0P
639794-15-3P 639794-18-6P 639794-20-0P
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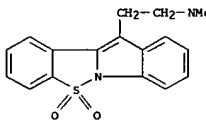
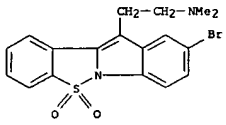
639795-06-5P 639795-09-8P 639795-98-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel tetracyclic arylsulfonyl indoles having serotonin receptor affinity)

RN 639793-97-8 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

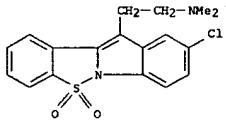
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



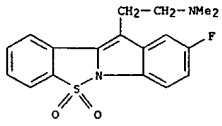
RN 639794-00-6 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-bromo-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 639794-03-9 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-chloro-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

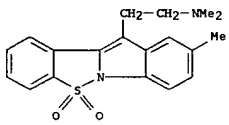


RN 639794-06-2 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-fluoro-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

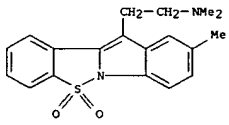


RN 639794-09-5 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N,9-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 639794-12-0 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N,9-trimethyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 639794-15-3 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N,9-trimethyl-, 5,5-dioxide, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

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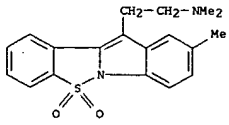
CRN 639794-09-5
CMF C19 H20 N2 O2 S

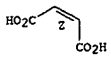


CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

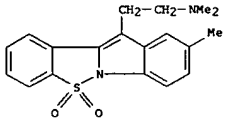
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 639794-18-6 HCAPLUS
CN Butanedioic acid, hydroxy-, compd. with N,N,9-trimethylindolo[1,2-b][1,2]benzisothiazole-11-ethanamine 5,5-dioxide (9CI) (CA INDEX NAME)

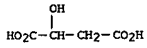
CM 1

CRN 639794-09-5
CMF C19 H20 N2 O2 S



CM 2

CRN 6915-15-7
CMF C4 H6 O5

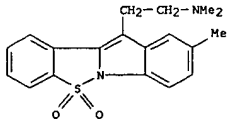


RN 639794-20-0 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N,9-trimethyl-, 5,5-dioxide, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 639794-09-5
CMF C19 H20 N2 O2 S

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



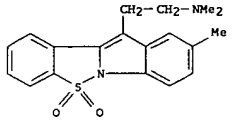
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 639794-22-2 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N,9-trimethyl-, 5,5-dioxide, 2-hydroxy-1,2,3-propanetricarboxylate (9CI) (CA INDEX NAME)

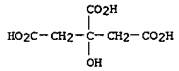
CM 1

CRN 639794-09-5
CMF C19 H20 N2 O2 S



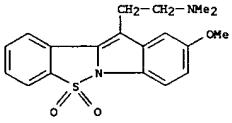
CM 2

CRN 77-92-9
CMF C6 H8 O7

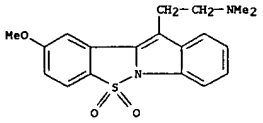


L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

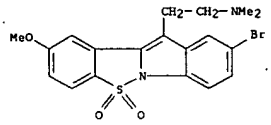
RN 639794-24-4 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-methoxy-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



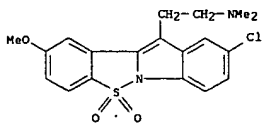
RN 639794-26-6 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 2-methoxy-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 639794-28-8 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-bromo-2-methoxy-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

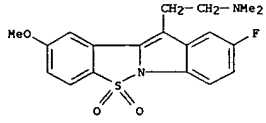


RN 639794-30-2 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-chloro-2-methoxy-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

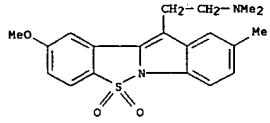


L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

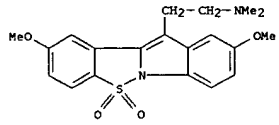
RN 639794-32-4 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-fluoro-2-methoxy-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



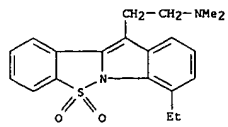
RN 639794-35-7 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 2-methoxy-N,N,9-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 639794-37-9 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 2,9-dimethoxy-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

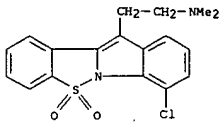


RN 639794-39-1 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7-ethyl-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

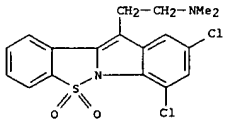


L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

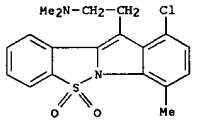
RN 639794-41-5 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7-chloro-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 639794-42-6 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7,9-dichloro-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

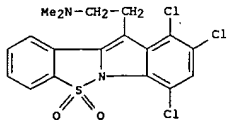


RN 639794-43-7 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 10-chloro-N,N,7-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

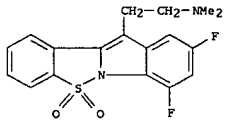


RN 639794-44-8 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7,9,10-trichloro-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

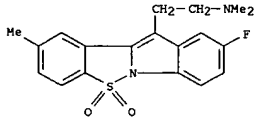
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



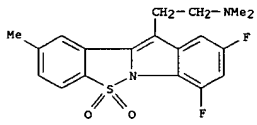
RN 639794-47-1 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7,9-difluoro-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



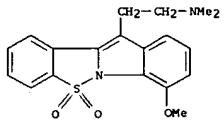
RN 639794-49-3 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-fluoro-N,N,2-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



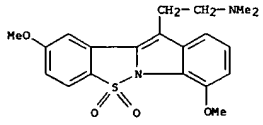
RN 639794-51-7 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7,9-difluoro-N,N,2-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



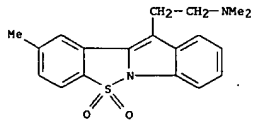
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 639794-53-9 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7-methoxy-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 639794-55-1 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 2,7-dimethoxy-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

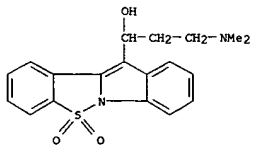


RN 639794-57-3 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N,2-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

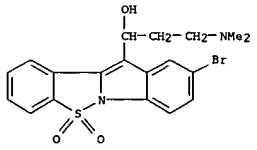


RN 639794-58-4 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, α-[2-(dimethylamino)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

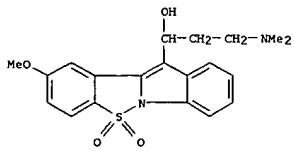
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 639794-59-5 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 9-bromo-α-[2-(dimethylamino)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

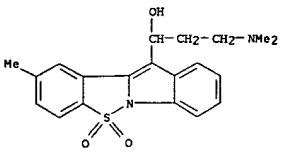


RN 639794-61-9 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, α-[2-(dimethylamino)ethyl]-2-methoxy-, 5,5-dioxide (9CI) (CA INDEX NAME)

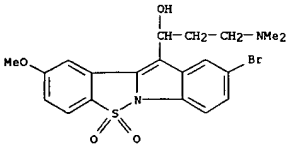


RN 639794-63-1 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, α-[2-(dimethylamino)ethyl]-2-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

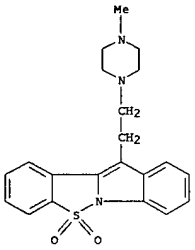
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 639794-65-3 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 9-bromo-α-[2-(dimethylamino)ethyl]-2-methoxy-, 5,5-dioxide (9CI) (CA INDEX NAME)

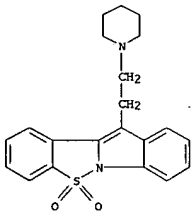


RN 639794-67-5 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole, 11-[2-(4-methyl-1-piperazinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

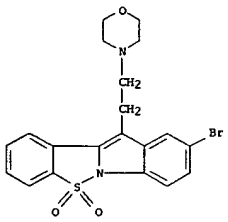


RN 639794-69-7 HCAPLUS

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

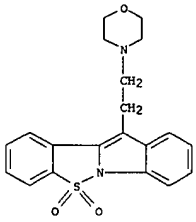


RN 639794-75-5 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole, 9-bromo-11-[2-(4-morpholinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

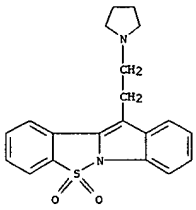


RN 639794-77-7 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole, 9-bromo-11-[2-(1-pyrrolidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Indolo[1,2-b][1,2]benzisothiazole, 11-[2-(4-morpholinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

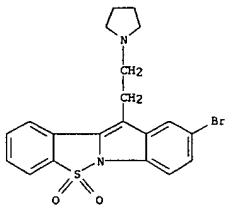


RN 639794-71-1 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole, 11-[2-(1-pyrrolidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

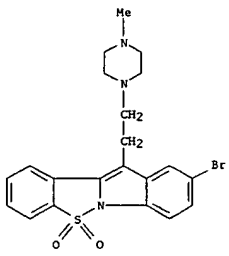


RN 639794-73-3 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole, 11-[2-(1-piperidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

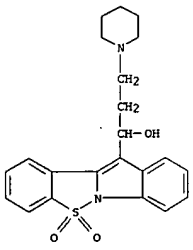


RN 639794-80-2 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole, 9-bromo-11-[2-(4-methyl-1-piperazinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

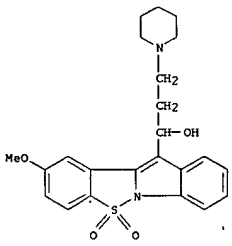


RN 639794-82-4 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, α-[2-(1-piperidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

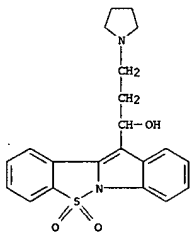


RN 639794-85-7 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 2-methoxy-α-[2-(1-piperidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

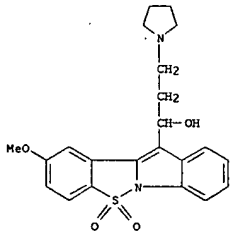


RN 639794-87-9 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 9-bromo-α-[2-(1-piperidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

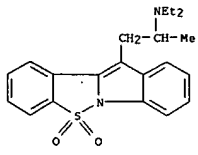
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 639794-94-8 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 2-methoxy-α-[2-(1-pyrrolidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

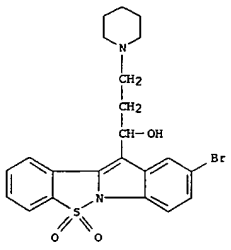


RN 639794-97-1 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N-diethyl-α-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

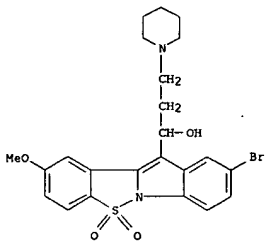


RN 639794-99-3 HCAPLUS

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

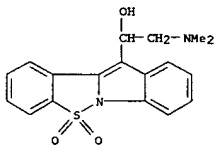


RN 639794-90-4 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 9-bromo-2-methoxy-α-[2-(1-piperidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

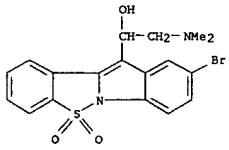


RN 639794-92-6 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, α-[2-(1-pyrrolidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

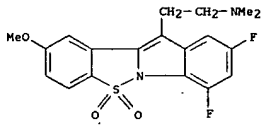
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, α-[(dimethylamino)methyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 639795-01-0 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 9-bromo-α-[(dimethylamino)methyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

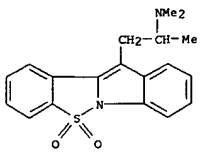


RN 639795-03-2 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7,9-difluoro-2-methoxy-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

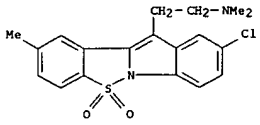


RN 639795-05-4 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N,α-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

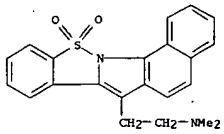
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 639795-06-5 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-chloro-N,N,2-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

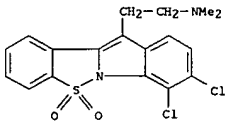


RN 639795-09-8 HCAPLUS
CN Benz[6,7]indolo[1,2-b][1,2]benzisothiazole-7-ethanamine, N,N-dimethyl-, 12,12-dioxide (9CI) (CA INDEX NAME)

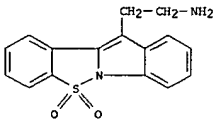


RN 639795-98-5 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7,8-dichloro-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 639795-96-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel tetracyclic arylsulfonyl indoles having serotonin receptor affinity)
RN 639795-96-3 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 5,5-dioxide (9CI) (CA INDEX NAME)



10518612 and 10519219

=> fil reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 17.76 | 185.35 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -0.75 | -0.75 |

FILE 'REGISTRY' ENTERED AT 10:09:05 ON 18 DEC 2006
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 15 DEC 2006 HIGHEST RN 915749-75-6
DICTIONARY FILE UPDATES: 15 DEC 2006 HIGHEST RN 915749-75-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

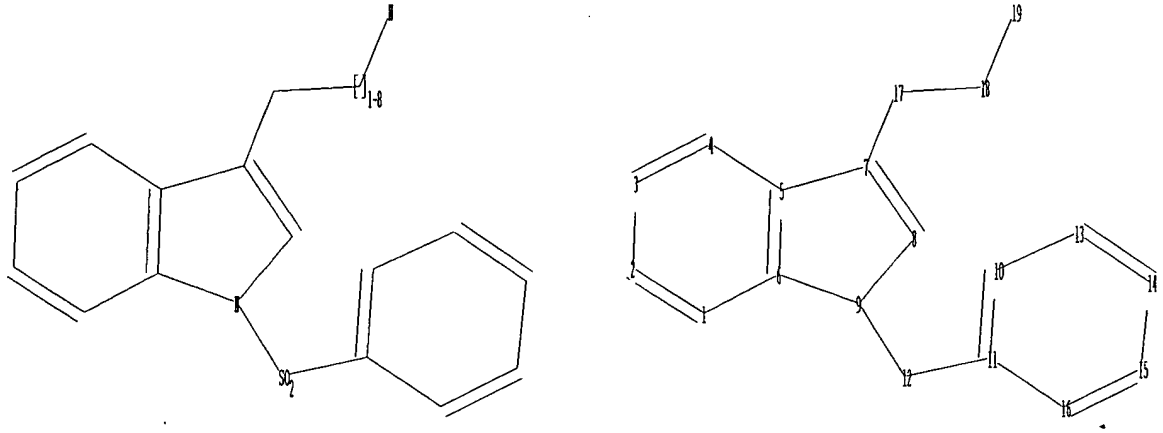
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10519219interm.str



chain nodes :
12 17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 13 14 15 16
ring/chain nodes :

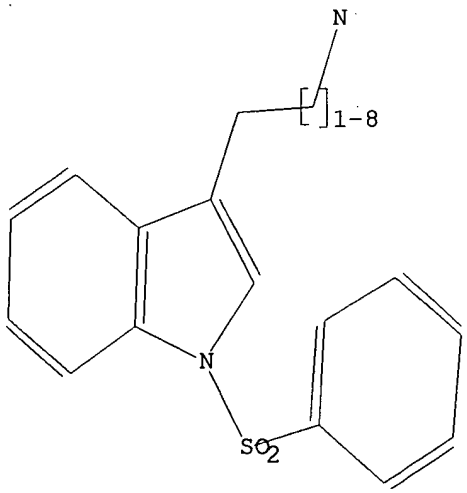
10518612 and 10519219

19
chain bonds :
7-17 9-12 11-12 17-18 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-13 10-11 11-16 13-14 14-15
15-16
exact/norm bonds :
5-7 6-9 7-8 8-9 9-12 18-19
exact bonds :
7-17 11-12 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-13 10-11 11-16 13-14 14-15 15-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR




Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 10:10:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 181 TO ITERATE

100.0% PROCESSED 181 ITERATIONS 40 ANSWERS
SEARCH TIME: 00.00.01

10518612 and 10519219

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2813 TO 4427
PROJECTED ANSWERS: 421 TO 1179

L6 40 SEA SSS SAM L5

=> s l5 full
FULL SEARCH INITIATED 10:11:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3981 TO ITERATE

100.0% PROCESSED 3981 ITERATIONS 986 ANSWERS
SEARCH TIME: 00.00.01

L7 986 SEA SSS FUL L5

=> fil hcaplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 167.82 | 353.17 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | 0.00 | -0.75 |

FILE 'HCAPLUS' ENTERED AT 10:11:10 ON 18 DEC 2006
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FILE COVERS 1907 - 18 Dec 2006 VOL 145 ISS 26
FILE LAST UPDATED: 17 Dec 2006 (20061217/ED)

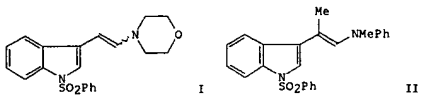
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7
L8 294 L7

=> d ed abs ibib hitstr L8 200-220

L8 ANSWER 200 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 05 Sep 1992
GI

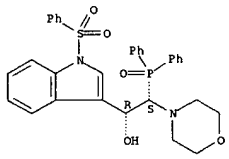


I II

AB 3-Acylindoles react with α-amino-α'-diphenylphosphinoyl-substituted carbanions to give 3-(2-aminovinyl)indoles I and II via carbinols. The electron-rich I and II undergo Diels-Alder reactions with N-phenylmaleimide.

ACCESSION NUMBER: 1992:490548 HCAPLUS
DOCUMENT NUMBER: 117:90548
TITLE: A new access to 3-(2'-aminovinyl)indoles and their first Diels-Alder reactions
AUTHOR(S): Pindur, Ulf; Otto, Christian
CORPORATE SOURCE: Dep. Chem. Pharm., Univ. Mainz, Mainz, D-6500/1, Germany
SOURCE: Chemistry Letters (1992), (3), 403-6
CODEN: CMLTAG; ISSN: 0366-7022
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:90548
IT 141987-03-3P 141987-04-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dehydration of)
RN 141987-03-3 HCAPLUS
CN 1H-Indole-3-methanol, α-[(diphenylphosphinyl)-4-morpholinylmethyl]-1-(phenylsulfonyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

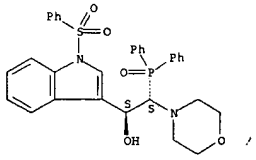


RN 141987-04-4 HCAPLUS
CN 1H-Indole-3-methanol, α-[(diphenylphosphinyl)-4-morpholinylmethyl]-1-

L8 ANSWER 200 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

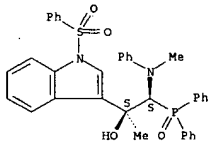
(phenylsulfonyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



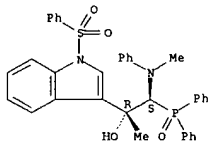
IT 141987-08-8P 141987-20-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and elimination reaction of)
RN 141987-08-8 HCAPLUS
CN 1H-Indole-3-methanol, α-[(diphenylphosphinyl)(methylphenylamino)methyl]-α-methyl-1-(phenylsulfonyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

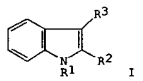


RN 141987-20-4 HCAPLUS
CN 1H-Indole-3-methanol, α-[(diphenylphosphinyl)(methylphenylamino)methyl]-α-methyl-1-(phenylsulfonyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L8 ANSWER 201 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 Jul 1992
GI

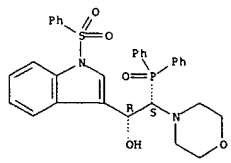


I

AB Condensation of carbanions of RCH2P(O)Ph2 (R = morpholino, PhNMe) with acylindoles I (R1 = Me, SO2Ph; R2 = H, CHO; R3 = H, CHO, Ac) gave vinylindoles I [R1 = Me, R2 = CH:CHNMePh, R3 = H; R1 = SO2Ph, R2 = H, R3 = C(Me):CHNMePh, morpholinovinyl; R1 = Me, R2 = H, R3 = morpholinovinyl] (II) via isolable carbinols I [R1 = same; R2 = H, CH(OH)CH(NMePh)[P(O)Ph2]; R3 = H, C(OH)(Me)CH(NMePh)[P(O)Ph2], CH(OH)CHR4[P(O)Ph2], R4 = morpholino]. The heterocyclic dienes II readily underwent Diels-Alder reactions with N-phenylmaleimide.

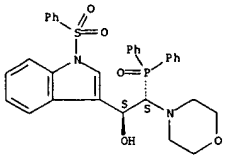
ACCESSION NUMBER: 1992:426242 HCAPLUS
DOCUMENT NUMBER: 117:26242
TITLE: A new access to 2'-amino-substituted vinylindoles as donor-activated heterocyclic dienes and their first Diels-Alder reactions
AUTHOR(S): Pindur, Ulf; Otto, Christian
CORPORATE SOURCE: Dep. Chem. Pharm., Univ. Mainz, Mainz, D-6500/1, Germany
SOURCE: Tetrahedron (1992), 48(17), 3515-26
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:26242
IT 141987-03-3P 141987-04-4P 141987-08-8P 141987-20-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, spectra and elimination reaction of)
RN 141987-03-3 HCAPLUS
CN 1H-Indole-3-methanol, α-[(diphenylphosphinyl)-4-morpholinylmethyl]-1-(phenylsulfonyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



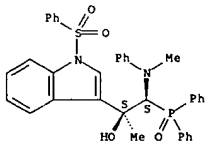
RN 141987-04-4 HCAPLUS
CN 1H-Indole-3-methanol, α-[(diphenylphosphinyl)-4-morpholinylmethyl]-1-(phenylsulfonyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

L8 ANSWER 201 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Relative stereochemistry.



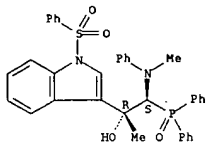
RN 141987-08-8 HCAPLUS
CN 1H-Indole-3-methanol, α-[(diphenylphosphinyl)(methylphenylamino)meth yl]-α-methyl-1-(phenylsulfonyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



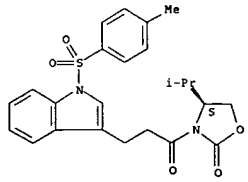
RN 141987-20-4 HCAPLUS
CN 1H-Indole-3-methanol, α-[(diphenylphosphinyl)(methylphenylamino)meth yl]-α-methyl-1-(phenylsulfonyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

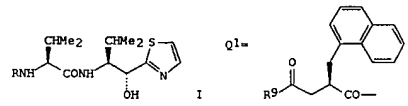


L8 ANSWER 202 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
indol-3-yl]-1-oxopropyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 202 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Feb 1992
GI

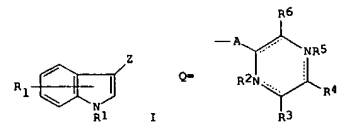


AB QNR3CHR4CONR5CHR6CH(OH)A [A = (un)substituted heteroaryl; Q = (R)-R1COWCHR2CO; R1 = alkoxy, NR7R8; R7 = H, alkyl; R8 = (un)substituted alkyl; or NR7R8 = heterocyclyl; R2 = (un)substituted arylmethyl; R3, R5 = H, Me; R4 = (amino)alkyl, PhCH2, alkoxy, heteroarylmethyl, etc.; R6 = (alkoxy)alkyl, PhCH2, cyclohexylmethyl, etc.; W = CH2, O] were prepared Thus, QOH (Q = acylisobutanoyl group Q1; R9 = OCMe3) (preparation given) was condensed with leucylaminopentanol I (R = H) (preparation given) to give I (R = Q1, R9 = OCMe3). I [R = Q1, R9 = 2-(N-methyl-2-pyrrolyl)ethylamino] had IC50 of 3.3 + 10-8M against angiotensin I generation in vitro.
ACCESSION NUMBER: 1992:42060 HCAPLUS
DOCUMENT NUMBER: 116:42060
TITLE: Preparation of N1-(1-heteroaryl-1-hydroxyalk-2-yl)-N2-(3-alkoxycarbonyl-2-arylmethylpropionyl)-α-aminoalkanamides and analogs as renin inhibitors
INVENTOR(S): Albright, Jay Donald; Howell, Charles Frederick; Levin, Jeremy Ian; Sum, Fuk Wah; Reich, Marvin Fred
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: Eur. Pat. Appl., 106 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 427939 | A2 | 19910522 | EP 1990-117977 | 19900919 |
| EP 427939 | A3 | 19911106 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE | | | | |
| CA 2027125 | A1 | 19910412 | CA 1990-2027125 | 19901009 |
| JP 03178962 | A | 19910802 | JP 1990-272062 | 19901009 |
| AU 9064505 | A | 19910418 | AU 1990-64505 | 19901010 |
| US 5104869 | A | 19920414 | US 1990-605067 | 19901025 |
| PRIORITY APPLN. INFO.: | | | US 1989-419810 A | 19891011 |
| OTHER SOURCE(S): | MARPAT 116:42060 | | | |

IT 138296-02-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of renin inhibitors)
RN 138296-02-3 HCAPLUS
CN 2-Oxazolidinone, 4-(1-methylethyl)-3-[3-[1-[(4-methylphenyl)sulfonyl]-1H-

L8 ANSWER 203 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 24 Jan 1992
GI



AB The title compds. [I; R = H, cyano, phenylalkoxy, CO2H, Ph, alkoxycarbonyl, alkyl, alkoxy, OH, halo; l = 1,2; R1 = H, alkyl, phenylalkyl, alkanoyl, CO2H, alkoxycarbonyl, phenylalkoxycarbonyl, Q; A = CHOH, CH, CO, alkylene; R2 = H, alkyl, OH, alkoxy; R3 = H, oxo, halo, alkoxy, alkanoyloxy, BzO, etc.; R4 = H, alkyl, Ph, phenylalkyl optionally substituted on Ph, cycloalkyl, cycloalkylalkyl, indolylalkyl, alkenylene; R5 = H, oxo, OH, phenylalkoxy, alkoxy, alkyl; R6 = alkoxy, oxo, H, OH, halo, alkyl, (alkanoyl)amino, alkylthio, cycloalkyloxy, phenylalkoxy, etc.; Z = Q], also useful for treatment of superoxide (O2-)-related diseases, e.g. autoimmune disease such as rheumatism, arteriosclerosis, heart or brain ischemia, liver or kidney failure, are prepared Thus, peptide coupling of N-(tert-butoxycarbonyl)phenylglycine with H-MeTrp-OMe in the presence of bis(2-oxo-3-oxazolidinyl)phosphinic chloride, Et3N, and N(CH2CH2OH)3 in CH2Cl2 gave BOC-NHCHPhCO-MeTrp-OMe (BOC = CO2CMe3) (II) which was oxidized with DDQ to the dehydro derivative of II and then stirred with HCO2H in the presence of a few drops of concentrated HCl to give (Z)-6-[(indol-3-yl)methylidene]-1-methyl-3-phenylpiperazine-2,5-dione (III). Approx. 160 I were prepared and 30 I in vitro inhibited the release of superoxide (O2-) from guinea pigs macrophages of the peritoneal cavity with IC50 of 0.08-5.0 + 10-5 g/mL, whereas 25 I in vitro inhibited the (OHC-Met-Leu-Phe-OH/cytochalasin B)-stimulated release of lysosomal enzyme from rat's neutrophils with IC50 of 0.8-5.- + 10-5 g/mL. Tablets containing III were prepared
ACCESSION NUMBER: 1992:21073 HCAPLUS
DOCUMENT NUMBER: 116:21073
TITLE: Preparation of 3-(3-indolylmethyl)piperazine derivatives as superoxide radical inhibitors for prevention and treatment of nephritis
INVENTOR(S): Tone, Hitoshi; Sato, Seiji; Sato, Hideaki; Tamura, Katsumi; Tamada, Shigeharu
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 509 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9009380 | A1 | 19900823 | WO 1990-JP163 | 19900209 |
| W: KR, US | | | | |

L8 ANSWER 203 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

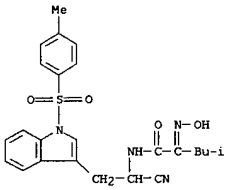
| | | | | |
|---|---|---|---|---|
| RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE | | | | |
| JP 03220188 | A | 19910927 | JP 1990-14551 | 19900123 |
| JP 2523383 | B2 | 19960807 | | |
| JP 03099078 | A | 19910424 | JP 1990-21937 | 19900130 |
| JP 06043419 | B | 19940608 | | |
| JP 03184975 | A | 19910812 | JP 1990-21936 | 19900130 |
| JP 06043418 | B | 19940608 | | |
| JP 03173883 | A | 19910729 | JP 1990-31361 | 19900208 |
| EP 411150 | A1 | 19910206 | EP 1990-902836 | 19900209 |
| EP 411150 | B1 | 19961127 | | |
| R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE | | | | |
| ES 2097142 | T3 | 19970401 | ES 1990-902836 | 19900209 |
| CN 1049155 | A | 19910213 | CN 1990-101286 | 19900310 |
| CN 1024797 | B | 19940601 | | |
| US 5238938 | A | 19930824 | US 1992-857726 | 19920326 |
| PRIORITY APPLN. INFO.: | | | JP 1989-31579 | A 19890210 |
| | | | JP 1989-199771 | A 19890731 |
| | | | JP 1989-234978 | A 19890911 |
| | | | JP 1990-14551 | A 19900123 |
| | | | WO 1990-JP163 | W 19900209 |
| | | | US 1990-582230 | B1 19901005 |

OTHER SOURCE(S): MARPAT 116:21073

IT 131827-16-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as superoxide radical inhibitor drug)

RN 131827-16-2 HCAPLUS

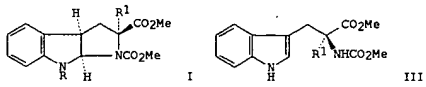
CN Pentanamide, N-[1-cyano-2-[1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]ethyl]-2-(hydroxyimino)-4-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 204 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 05 Oct 1991

GI



AB MeO2C-L-Trp-OMe is cyclized with 85% phosphoric acid to give hexahydropyrrolo[2,3-b]indole I (R = R1 = H), which on reaction with p-toluenesulfonyl chloride (TsCl) gives I (R = Ts, R1 = H) (II). II undergoes deprotonation with LDA to the corresponding enolate which is quenched with a variety of alkylating agents resulting in alkylation, with retention of configuration, at C-2 to give I (R = Ts, R1 = CH2CH:CH2, Me, CH2Ph, CH2CH2SMe, CH2CO2Me, CH2CH2SiMe3). Subsequent treatment with CF3CO2H brings about cycloreversion affording essentially optically pure α-alkylated tryptophan derivs. III. The same process was also applied in the R series.

ACCESSION NUMBER: 1991:536704 HCAPLUS

DOCUMENT NUMBER: 115:136704

TITLE: Enantiospecific synthesis with amino acids. Part 1. Tryptophan as a chiron for the synthesis of α-substituted tryptophan derivatives

AUTHOR(S): Bourne, Gregory T.; Crich, David; Davies, John W.; Horwell, David C.

CORPORATE SOURCE: Parke Davis Res. Unit, Addenbrookes Hosp. Site, Cambridge, CB2 2QB, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1991), (7), 1693-9
CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

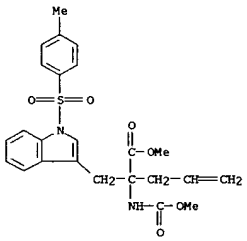
OTHER SOURCE(S): CASREACT 115:136704

IT 127628-20-0P 136057-15-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive detosylation of)

RN 127628-20-0 HCAPLUS

CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]-α-2-propenyl-, methyl ester (9CI) (CA INDEX NAME)

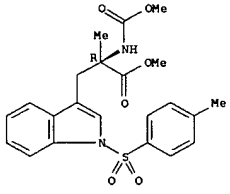
L8 ANSWER 204 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 136057-15-3 HCAPLUS

CN D-Tryptophan, N-(methoxycarbonyl)-α-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



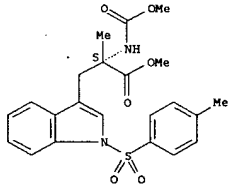
IT 127628-17-5P 127628-18-6P 127628-19-7P
136057-13-1P 136057-14-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 127628-17-5 HCAPLUS

CN L-Tryptophan, N-(methoxycarbonyl)-α-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

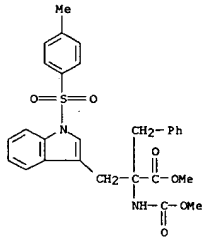
Absolute stereochemistry.

L8 ANSWER 204 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 127628-18-6 HCAPLUS

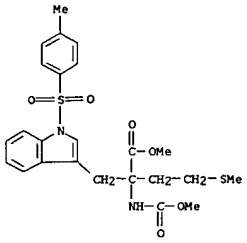
CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]-α-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 127628-19-7 HCAPLUS

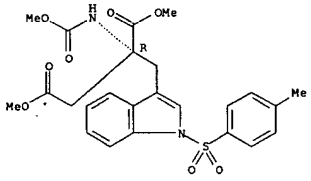
CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]-α-[2-(methylthio)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 204 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 136057-13-1 HCAPLUS
CN D-Aspartic acid, N-(methoxycarbonyl)-2-[[1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

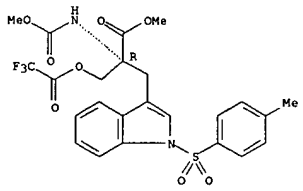
Absolute stereochemistry. Rotation (+).



RN 136057-14-2 HCAPLUS
CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]-α-[[(trifluoroacetyl)oxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

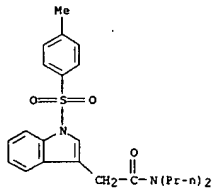
L8 ANSWER 204 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



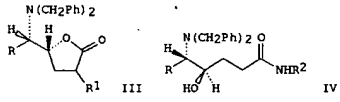
L8 ANSWER 205 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 05 Oct 1991
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The synthesis of polycyclic indoles, e.g., I (X = O, CH2), II, III, is shown to be accomplished readily by the palladium catalyzed intramol. cyclization of bromoarylindoles, e.g., IV, V, VI.
ACCESSION NUMBER: 1991:535868 HCAPLUS
DOCUMENT NUMBER: 115:135868
TITLE: Palladium catalyzed synthesis of annelated indoles
AUTHOR(S): Kozikowski, Alan P.; Ma, Dawei
CORPORATE SOURCE: Mayo Clin., Jacksonville, FL, 32224, USA
SOURCE: Tetrahedron Letters (1991), 32(28), 3317-20
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:135868
IT 135967-01-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(attempted reaction of, with bromotosylindole)
RN 135967-01-0 HCAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-methylphenyl)sulfonyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)



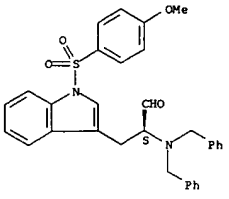
L8 ANSWER 206 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 31 May 1991
GI



AB The reaction of (S)-α-dibenzylamino aldehydes (S)-(PhCH2)2NCHRCHO (I; R = Me, CH2CHMe2, CH2Ph) with dichloroisopropoxytitanium ester homoenolates Me2CHOTiCl2CH2CHR1COR2 [II; R1 = H, (S)-Me, (R)-Me; R2 = OMe] gave the corresponding γ-aminoalkyl γ-lactones III with high erythro selectivity. The same reaction of I (R = Me, CH2CHMe2) with amide homoenolates. II [R1 = H; R2 = NHCH2Ph, (S)- and (R)-NHCHMePh] also afforded the corresponding 2-amino alcs. IV with high erythro selectivity.
ACCESSION NUMBER: 1991:207746 HCAPLUS
DOCUMENT NUMBER: 114:207746
TITLE: Stereocontrolled convergent synthesis of hydroxyethylene dipeptide isosteres by the reaction of α-amino aldehyde with alkoxytitanium homoenolates
AUTHOR(S): Kano, Shinzo; Yokomatsu, Tsutomu; Shibuya, Shiroshi
CORPORATE SOURCE: Tokyo Coll. Pharm., Tokyo, 192-03, Japan
SOURCE: Tetrahedron Letters (1991), 32(2), 233-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:207746
IT 133148-46-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation and cyclocondensation reactions of, with alkoxytitanium homoenolates, stereochem. of)
RN 133148-46-6 HCAPLUS
CN 1H-Indole-3-propanal, α-[bis(phenylmethyl)amino]-1-[(4-methoxyphenyl)sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

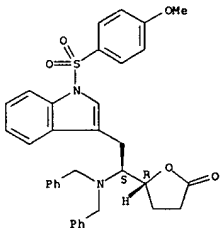
Absolute stereochemistry.

L8 ANSWER 206 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 133148-68-2P 133148-69-3P 133148-70-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ring opening of, with butylamine)
RN 133148-68-2 HCAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-methoxyphenyl)sulfonyl]-N,N-bis(phenylmethyl)-α-(tetrahydro-5-oxo-2-furanyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

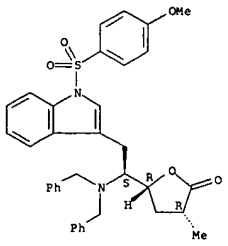
Absolute stereochemistry.



RN 133148-69-3 HCAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-methoxyphenyl)sulfonyl]-N,N-bis(phenylmethyl)-α-(tetrahydro-4-methyl-5-oxo-2-furanyl)-, [2R-[2α(S*),4α]]- (9CI) (CA INDEX NAME)

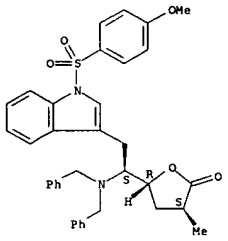
Absolute stereochemistry.

L8 ANSWER 206 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 133148-70-6 HCAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-methoxyphenyl)sulfonyl]-N,N-bis(phenylmethyl)-α-(tetrahydro-4-methyl-5-oxo-2-furanyl)-, [2R-[2α(S*),4β]]- (9CI) (CA INDEX NAME)

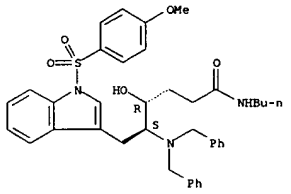
Absolute stereochemistry.



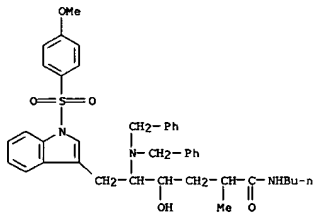
IT 133148-71-7P 133148-72-8P 133268-13-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 133148-71-7 HCAPLUS
CN 1H-Indole-3-hexanamide, δ-[bis(phenylmethyl)amino]-N-butyl-γ-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 206 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

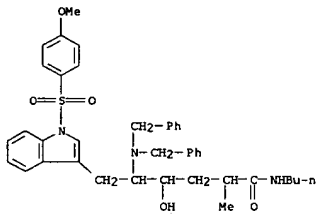


RN 133148-72-8 HCAPLUS
CN 1H-Indole-3-hexanamide, δ-[bis(phenylmethyl)amino]-N-butyl-γ-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-α-methyl-, [αR-(αR*,γR*,δS*)]- (9CI) (CA INDEX NAME)



RN 133268-13-0 HCAPLUS
CN 1H-Indole-3-hexanamide, δ-[bis(phenylmethyl)amino]-N-butyl-γ-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-α-methyl-, [αS-(αR*,γS*,δR*)]- (9CI) (CA INDEX NAME)

L8 ANSWER 206 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

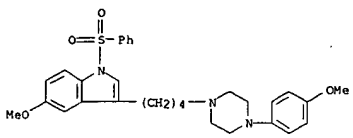


L8 ANSWER 207 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 31 May 1991
AB The title compound (I) and its physiol. unobjectionable salts, having serotonin-agonist and -antagonist properties, were prepared as psychotropic and antihypertensive agents (no data). Thus, 3-(4-chlorobutyl)-5-methoxyindole was condensed with 1-(p-methoxyphenyl)piperazine to give I as, e.g., its hydrochloride salt.
ACCESSION NUMBER: 1991:207288 HCAPLUS
DOCUMENT NUMBER: 114:207288
TITLE: Preparation and formulation of 3-[4-[4-(p-methoxyphenyl)piperazino]butyl]-5-methoxyindole and salts there of as psychotropic and antihypertensive agents
INVENTOR(S): Boettcher, Henning; Seyfried, Christoph; Greiner, Hrtmutu
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 9 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| EP 407844 | A1 | 19910116 | EP 1990-112539 | | 19900630 |
| EP 407844 | B1 | 19940406 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE | | | | | |
| DE 3923045 | A1 | 19910117 | DE 1989-3923045 | | 19890713 |
| AT 103894 | T | 19940415 | AT 1990-112539 | | 19900630 |
| ES 2062202 | T3 | 19941216 | ES 1990-112539 | | 19900630 |
| CA 2020936 | A1 | 19910114 | CA 1990-2020936 | | 19900711 |
| AU 9058951 | A | 19910117 | AU 1990-58951 | | 19900712 |
| AU 622340 | B2 | 19920402 | | | |
| JP 03052859 | A | 19910307 | JP 1990-182888 | | 19900712 |
| HU 55382 | A2 | 19910528 | HU 1990-4184 | | 19900712 |
| HU 206340 | B | 19921028 | | | |
| US 5106850 | A | 19920421 | US 1990-551816 | | 19900712 |
| ZA 9005524 | A | 19910529 | ZA 1990-5524 | | 19900713 |
| PRIORITY APPLN. INFO.: | | | DE 1989-3923045 | A | 19890713 |
| | | | EP 1990-112539 | A | 19900630 |

OTHER SOURCE(S): MARPAT 114:207288
IT 133735-42-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of psychotropic and antihypertensive agent)
RN 133735-42-9 HCAPLUS
CN 1H-Indole, 5-methoxy-3-[4-[4-(4-methoxyphenyl)-1-piperazinyl]butyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 207 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



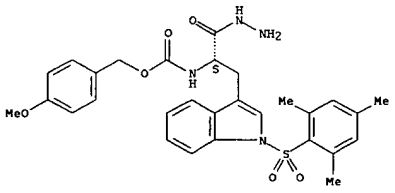
L8 ANSWER 208 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 17 May 1991
AB Hepatospecific insulin analogs, e.g. sheep [Trp14-A] insulin (I), suitable for i.m., s.c., and i.v. administration and administration by implantable pump and nasal spray in treatment of diabetes, are prepared These insulin analogs contain substitutions for one or more amino acids in the A and B chains and specifically, tryptophan or other bulky, hydrophobic amino acid residues are substituted at the A13, A14, A15, A19, and B16 positions of the insulin peptides. I, prepared by the solution method, inhibited gluconeogenesis in vitro in a hepatoma FAO cell line by .apprx.90% relative to the natural hormone and inhibited the specific binding of 125I-insulin to insulin receptors in plasma membranes with a potency of .apprx.60% of that of the natural hormone.
ACCESSION NUMBER: 1991:186084 HCAPLUS
DOCUMENT NUMBER: 114:186084
TITLE: Hepatospecific insulin analogs
INVENTOR(S): Katsoyannis, Panayotis G.
PATENT ASSIGNEE(S): Mount Sinai School of Medicine, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 9012814 | A1 | 19901101 | WO 1990-US2070 | | 19900417 |
| W: AU, FI, HU, JP, NO | | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE | | | | | |
| AU 9055415 | A | 19901116 | AU 1990-55415 | | 19900417 |
| AU 631868 | B2 | 19921210 | | | |
| EP 469084 | A1 | 19920205 | EP 1990-908000 | | 19900417 |
| EP 469084 | B1 | 19950405 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE | | | | | |
| HU 59941 | A2 | 19920728 | HU 1990-3398 | | 19900417 |
| HU 210142 | B | 19950228 | | | |
| JP 04504858 | T | 19920827 | JP 1990-506816 | | 19900417 |
| AT 120762 | T | 19950415 | AT 1990-908000 | | 19900417 |
| CA 2014896 | A1 | 19901020 | CA 1990-2014896 | | 19900419 |
| ZA 9002965 | A | 19910227 | ZA 1990-2965 | | 19900419 |
| IL 94163 | A | 19950831 | IL 1990-94163 | | 19900422 |
| IL 111437 | A | 19950831 | IL 1990-111437 | | 19900422 |
| NO 9104085 | A | 19911128 | NO 1991-4085 | | 19911017 |
| NO 301544 | B1 | 19971110 | | | |
| US 5208217 | A | 19930504 | US 1991-785146 | | 19911029 |
| PRIORITY APPLN. INFO.: | | | US 1989-340929 | A | 19890420 |
| | | | WO 1990-US2070 | A | 19900417 |
| | | | IL 1990-94163 | A3 | 19900422 |

IT 92916-46-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptide coupling of, in preparation of insulin analog)
RN 92916-46-6 HCAPLUS
CN L-Tryptophan, N-[[(4-methoxyphenyl)methoxy]carbonyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]-, hydrazide (9CI) (CA INDEX NAME)

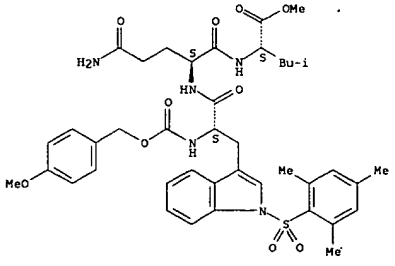
Absolute stereochemistry.

L8 ANSWER 208 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 133210-12-5P 133210-13-6P 133210-14-7P
133210-15-8P 133210-18-1P 133210-19-2P
133210-20-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for insulin analog)
RN 133210-12-5 HCAPLUS
CN L-Leucine, N-[N2-[N-[[(4-methoxyphenyl)methoxy]carbonyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl]-L-glutaminyl]-, methyl ester (9CI) (CA INDEX NAME)

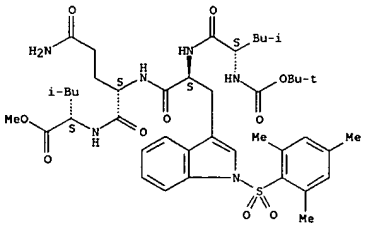
Absolute stereochemistry.



RN 133210-13-6 HCAPLUS
CN L-Leucine, N-[N2-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl]-L-glutaminyl]-, methyl ester (9CI) (CA INDEX NAME)

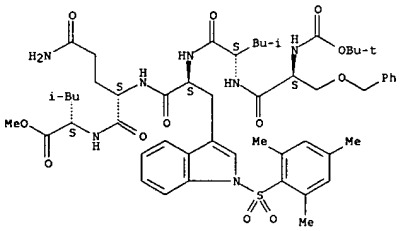
Absolute stereochemistry.

L8 ANSWER 208 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 133210-14-7 HCAPLUS
CN L-Leucine, N-[N2-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-leucyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl]-L-glutaminyl]-, methyl ester (9CI) (CA INDEX NAME)

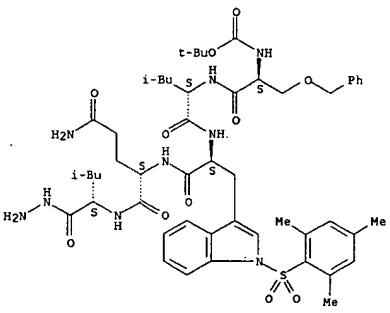
Absolute stereochemistry.



RN 133210-15-8 HCAPLUS
CN L-Leucine, N-[N2-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-leucyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl]-L-glutaminyl]-, hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

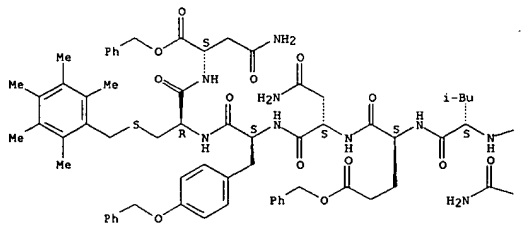
L8 ANSWER 208 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 133210-18-1 HCAPLUS
CN L-Asparagine, N2-[N-[N-[N2-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-leucyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl]-L-glutaminyl]-L-leucyl]-L-α-glutamyl]-L-asparaginyl]-O-(phenylmethyl)-L-tyrosyl]-S-[(pentamethylphenyl)methyl]-L-cysteinyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

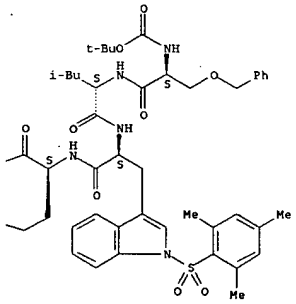
Absolute stereochemistry.

PAGE 1-A



L8 ANSWER 208 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

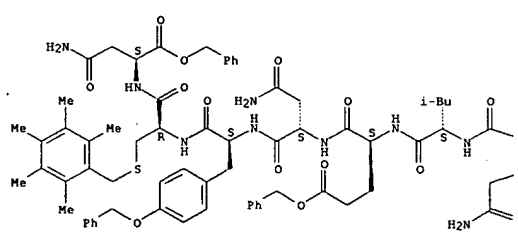
PAGE 1-B



RN 133210-19-2 HCAPLUS
CN L-Asparagine, N-[(1,1-dimethylethoxy)carbonyl]glycyl-L-valyl-S-[(pentamethylphenyl)methyl]-L-cysteinyl-O-(phenylmethyl)-L-seryl-L-leucyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-L-glutaminyl-L-leucyl-L-α-glutamyl-L-asparaginyl-O-(phenylmethyl)-L-tyrosyl-S-[(pentamethylphenyl)methyl]-L-cysteinyl-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

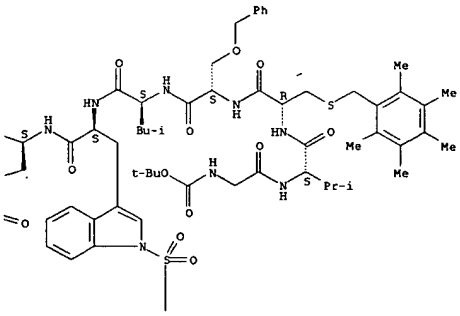
Absolute stereochemistry.

PAGE 1-A

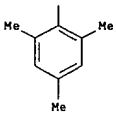


L8 ANSWER 208 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-B



PAGE 2-B

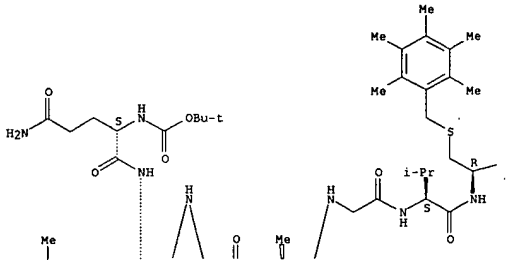


RN 133210-20-5 HCAPLUS
CN L-Asparagine, N2-[(1,1-dimethylethoxy)carbonyl]-L-glutaminyl-S-[(pentamethylphenyl)methyl]-L-cysteinyl-S-[(pentamethylphenyl)methyl]-L-cysteinyl-L-alanylglycyl-L-valyl-S-[(pentamethylphenyl)methyl]-L-cysteinyl-O-(phenylmethyl)-L-seryl-L-leucyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-L-glutaminyl-L-leucyl-L-α-glutamyl-L-asparaginyl-O-(phenylmethyl)-L-tyrosyl-S-[(pentamethylphenyl)methyl]-L-cysteinyl-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

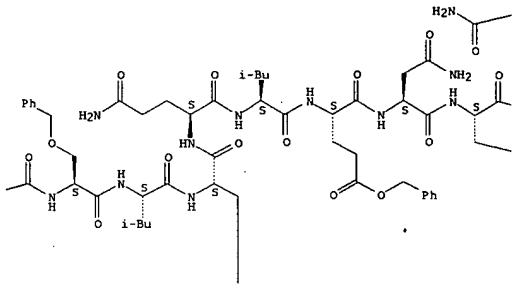
Absolute stereochemistry.

L8 ANSWER 208 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

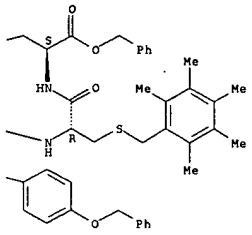


PAGE 1-B

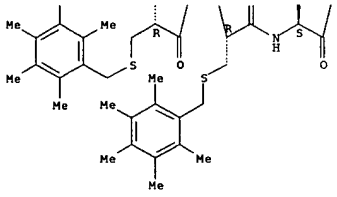


L8 ANSWER 208 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

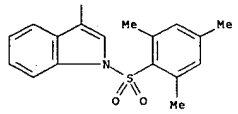
PAGE 1-C



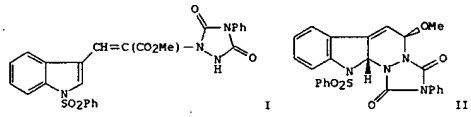
PAGE 2-A



PAGE 2-B



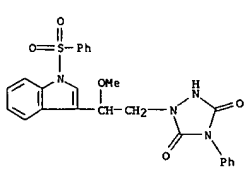
L8 ANSWER 209 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 06 Apr 1991
GI



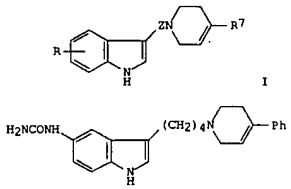
I II

AB The reactions of 3-vinylindoles and a 2-vinylindole with 4-phenyl-1,2,4-triazoline-3,5-dione were investigated. Depending on the structure of the vinylindole, the exptl. results revealed the occurrence in some cases of a nonconcerted step to furnish Michael-type adducts, e.g., I, and in other cases, of a probably concerted Diels-Alder reaction, to furnish novel pyridazino[b]indoles, e.g., II. The x-ray crystal structure of II is also reported.

ACCESSION NUMBER: 1991:122230 HCAPLUS
DOCUMENT NUMBER: 114:122230
TITLE: New reactions of vinylindoles as heterocyclic dienes with 4-phenyl-1,2,4-triazoline-3,5-dione: non-concerted versus concerted processes
AUTHOR(S): Pindur, Ulf; Kim, Myung Hwa
CORPORATE SOURCE: Dep. Chem. Pharm., Univ. Mainz, Mainz, D-6500/1, Germany
SOURCE: Chimia (1990), 44(10), 339-41
CODEN: CHIMAD; ISSN: 0009-4293
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:122230
IT 132509-46-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 132509-46-7 HCAPLUS
CN 1H-Indole, 3-[2-(3,5-dioxo-4-phenyl-1,2,4-triazolidin-1-yl)-1-methoxyethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 210 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 23 Mar 1991
GI



I II

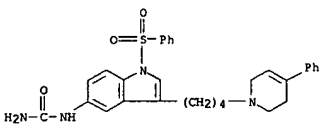
AB The title compds. [I; R = OCH2COR1, NHR2, NO2, CONR3R4, CSNH2; R1 = OH, NH2, alkoxy, (di)alkylamino, etc.; R2 = H, alkanoyl, aroyl, CONH2, etc.; R3 = H, (hydroxy)alkyl; R4 = O-(un)substituted hydroxyalkyl, dialkylamino, (un)substituted Ph, etc.; NR3R4 = heterocyclyl; R7 = 2- or 3-thienyl, (un)substituted Ph; Z = (CH2)2-5, CH2SOnCH2CH2; n = 0-2] were prepared as nervous system agents (no data). Thus, 3-(4-chlorobutyl)-5-indolylurea [preparation starting from 5-nitroindole and Cl(CH2)3COCl described] was stirred 12 h with 4-phenyl-1,2,3,6-tetrahydropyridine in MeCN to give title compound II. Pharmaceutical formulations comprising I are given.

ACCESSION NUMBER: 1991:101745 HCAPLUS
DOCUMENT NUMBER: 114:101745
TITLE: Preparation and formulation of 3-[(4-aryl-1,2,3,6-tetrahydropyrido)alkyl]indoles and analogs as nervous system agents
INVENTOR(S): Boettcher, Henning; Juraszyk, Horst; Hausberg, Hans Heinrich; Greiner, Hartmut; Seyfried, Christoph; Minck, Klaus Otto; Bergmann, Rolf
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

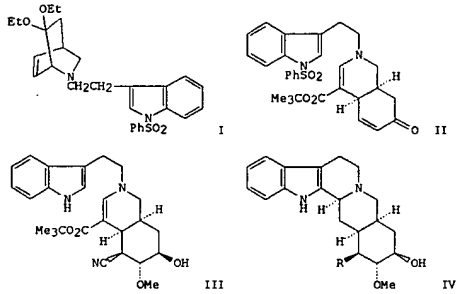
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 3907974 | A1 | 19900913 | DE 1989-3907974 | 19890311 |
| EP 387603 | A1 | 19900919 | EP 1990-103842 | 19900228 |
| R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE | | | | |
| JP 02273672 | A | 19901108 | JP 1990-49703 | 19900302 |
| AU 9051162 | A | 19900913 | AU 1990-51162 | 19900308 |
| AU 622291 | B2 | 19920402 | | |
| CA 2011834 | A1 | 19900911 | CA 1990-2011834 | 19900309 |
| ZA 9001857 | A | 19901228 | ZA 1990-1857 | 19900309 |
| HU 56088 | A2 | 19910729 | HU 1990-1382 | 19900309 |
| HU 206207 | B | 19920928 | | |

PRIORITY APPLN. INFO.: DE 1989-3907974 A 19890311

L8 ANSWER 210 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 114:101745
IT 132285-22-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of nervous system agent)
RN 132285-22-4 HCAPLUS
CN 1H-Indol-5-amine, N-(aminocarbonyl)-3-[4-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)butyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



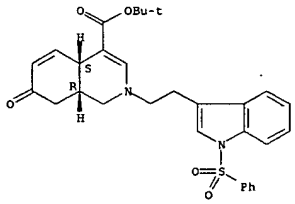
L8 ANSWER 211 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 09 Nov 1990
GI



AB Key elements in the title synthesis include the construction of the intermediate N-tryptophylisoquinuclidine 7-ketal I and its transformation with HC.tplbond.CCO2CMe3 to the N-tryptophylhydroisoquinoline II, stereocontrolled introduction of the E-ring C-16 ester, C-17 methoxyl, and C-18 benzoate functionality, and Wenkert cyclization of the N-tryptophyltetrahydronicotinate III to produce the yohimbane IV (R = cyano). A formal total synthesis of deserpidine is then accomplished by preparation of the advanced intermediate IV (R = CO2Me). The crystal structure
of IV (R = cyano) is reported.
ACCESSION NUMBER: 1990:572404 HCAPLUS
DOCUMENT NUMBER: 113:172404
TITLE: Formal total synthesis of deserpidine demonstrating a versatile amino-Claisen rearrangement/Wenkert cyclization strategy for the preparation of functionalized yohimbane ring systems
AUTHOR(S): Baxter, Ellen W.; Labaree, David; Ammon, Herman L.; Mariano, Patrick S.
CORPORATE SOURCE: Dep. Chem. Biochem., Univ. Maryland, College Park, MD, 20742, USA
SOURCE: Journal of the American Chemical Society (1990), 112(21), 7682-92
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:172404

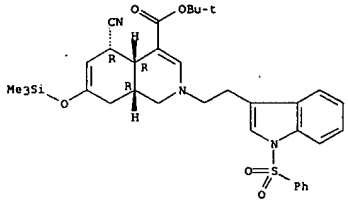
L8 ANSWER 211 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT 129265-18-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 129265-18-5 HCAPLUS
CN 4-Isoquinolinecarboxylic acid, 1,2,4a,7,8,8a-hexahydro-7-oxo-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-, 1,1-dimethylethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 129265-21-0P 129265-22-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydroboration of)
RN 129265-21-0 HCAPLUS
CN 4-Isoquinolinecarboxylic acid, 5-cyano-1,2,4a,5,8,8a-hexahydro-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-7-[(trimethylsilyl)oxy]-, 1,1-dimethylethyl ester, (4aα,5β,8aα)- (9CI) (CA INDEX NAME)

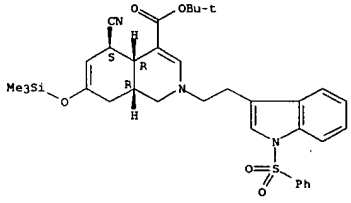
Relative stereochemistry.



RN 129265-22-1 HCAPLUS
CN 4-Isoquinolinecarboxylic acid, 5-cyano-1,2,4a,5,8,8a-hexahydro-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-7-[(trimethylsilyl)oxy]-, 1,1-dimethylethyl ester, (4aα,5α,8aα)- (9CI) (CA INDEX NAME)

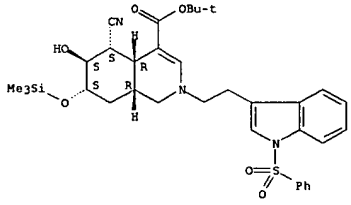
L8 ANSWER 211 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Relative stereochemistry.



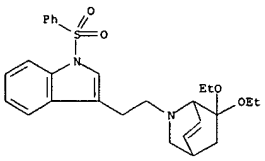
IT 129265-23-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)
RN 129265-23-2 HCAPLUS
CN 4-Isoquinolinecarboxylic acid, 5-cyano-1,2,4a,5,6,7,8,8a-octahydro-6-hydroxy-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-7-[(trimethylsilyl)oxy]-, 1,1-dimethylethyl ester, (4aα,5β,6α,7β,8aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



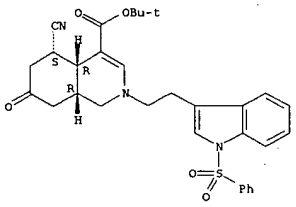
IT 129265-16-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with propiolate)
RN 129265-16-3 HCAPLUS
CN 1H-Indole, 3-[2-(7,7-diethoxy-2-azabicyclo[2.2.2]oct-5-en-2-yl)ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 211 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 129265-19-6P 129265-20-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 129265-19-6 HCAPLUS
CN 4-Isoquinolinecarboxylic acid, 5-cyano-1,2,4a,5,6,7,8,8a-octahydro-7-oxo-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-, 1,1-dimethylethyl ester, (4aα,5β,8aα)- (9CI) (CA INDEX NAME)

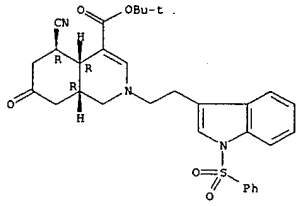
Relative stereochemistry.



RN 129265-20-9 HCAPLUS
CN 4-Isoquinolinecarboxylic acid, 5-cyano-1,2,4a,5,6,7,8,8a-octahydro-7-oxo-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-, 1,1-dimethylethyl ester, (4aα,5α,8aα)- (9CI) (CA INDEX NAME)

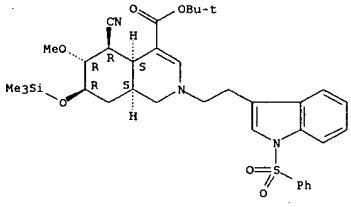
Relative stereochemistry.

L8 ANSWER 211 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

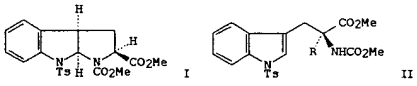


IT 129265-24-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, desulfonation, and desilylation of)
RN 129265-24-3 HCAPLUS
CN 4-Isoquinolinecarboxylic acid, 5-cyano-1,2,4a,5,6,7,8,8a-octahydro-6-methoxy-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-7-[(trimethylsilyl)oxy]-, 1,1-dimethylethyl ester, (4aα,5β,6α,7β,8aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



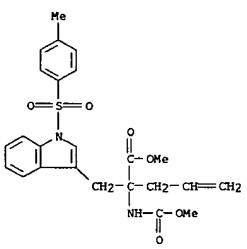
L8 ANSWER 212 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 21 Jul 1990
GI



AB L-Tryptophan has been converted, by alkylation of hexahydro[2,3-b]pyrroloindole I (Ts = 4-MeC6H4SO2) followed by ring opening, to α-alkylated tryptophan derivs. II (R = Me, CH2Ph, CH2CH2SMe, CH2CH:CH2, CH2CO2Et, CH2OH) with overall retention of configuration.

ACCESSION NUMBER: 1990:424453 HCAPLUS
DOCUMENT NUMBER: 113:24453
TITLE: Asymmetric synthesis of α-alkylated tryptophan derivatives
AUTHOR(S): Leigh, David; Davies, John W.
CORPORATE SOURCE: Dep. Chem., Univ. Coll. London, London, WC1H 0AJ, UK
SOURCE: Journal of the Chemical Society, Chemical Communications (1989), (19), 1418-19
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:24453

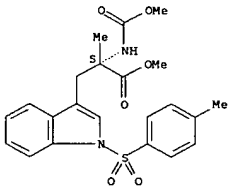
IT 127628-20-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive detosylation of)
RN 127628-20-0 HCAPLUS
CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]-α-2-propenyl-, methyl ester (9CI) (CA INDEX NAME)



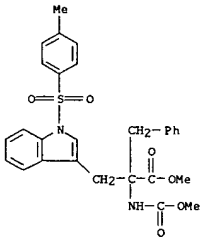
IT 127628-17-5P 127628-18-6P 127628-19-7P

L8 ANSWER 212 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
127628-21-1P 127628-22-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 127628-17-5 HCAPLUS
CN L-Tryptophan, N-(methoxycarbonyl)-α-methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

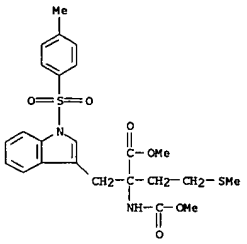


RN 127628-18-6 HCAPLUS
CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]-α-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



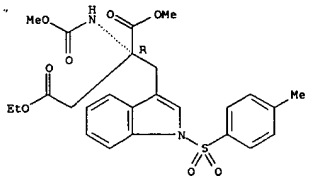
RN 127628-19-7 HCAPLUS
CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]-α-[2-(methylthio)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 212 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



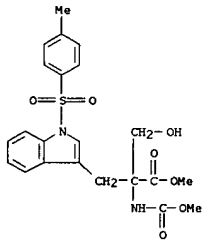
RN 127628-21-1 HCAPLUS
CN D-Aspartic acid, N-(methoxycarbonyl)-2-[[1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]methyl]-, 4-ethyl 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



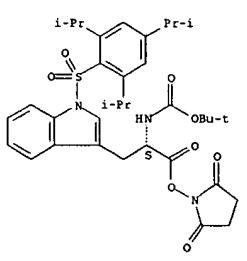
RN 127628-22-2 HCAPLUS
CN L-Tryptophan, α-(hydroxymethyl)-N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 212 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 23 Jun 1990
AB Two enkephalin-containing peptides, peptide E and dynorphin (1-24), were synthesized by conventional solution methods employing a new tryptophan derivative, Nin-(2,4,6-triisopropylphenylsulfonyl)tryptophan [H-Trp(Tps)-OH].
All protecting groups employed, including the Tps group, were removed by treatment with 1 M CF3SO3H-PhSMe inCF3CO2H at the final steps of these syntheses. Subsequent purifications by Sephadex G-25 chromatog., CM-Biogel A ion exchange chromatog., and reversed-phase HPLC afforded highly purified samples. Both synthetic peptide E and dynorphin (1-24) exhibited high in vitro opioid activity. The usefulness of this new tryptophan derivative for practical peptide synthesis was established through
these syntheses of complex tryptophan-containing peptides.
ACCESSION NUMBER: 1990:235818 HCAPLUS
DOCUMENT NUMBER: 112:235818
TITLE: Solution syntheses of two enkephalin-containing peptides, peptide E and dynorphin(1-24), using Nin-(2,4,6-triisopropylphenylsulfonyl)tryptophan
AUTHOR(S): Kitagawa, Kouki; Kawamoto, Tatsuhiko; Futaki, Shiroh; Kiyama, Shinya; Akita, Tadashi; Moritoki, Hideki; Kiso, Yoshiaki
CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokushima, Tokushima, 770, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1989), 37(10), 2631-8
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:235818
IT 127272-93-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptide coupling of, with decapeptide ester)
RN 127272-93-9 HCAPLUS
CN Carbamic acid, [2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxo-1-[[1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-1H-indol-3-yl]methyl]ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

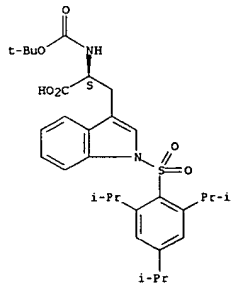
Absolute stereochemistry.



IT 127272-90-6

L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptide coupling of, with tryptophan hydrazide or hydrazine deriv.)
RN 127272-90-6 HCAPLUS
CN L-Tryptophan, N-[(1,1-dimethylethoxy)carbonyl]-1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 127272-80-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of, with zinc)
RN 127272-80-4 HCAPLUS
CN L-Tryptophan, N-[N-[N-[1-[N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-N2-[[(4-methoxyphenyl)methoxy]carbonyl]-L-ornithyl]-L-prolyl]-L-α-glutamyl]-1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-L-tryptophyl]-1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-, 5-(phenylmethyl) ester, 1-[2-[(2,2,2-trichloroethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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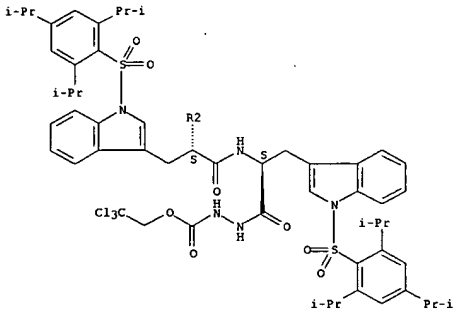

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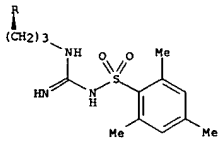
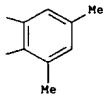


L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-B



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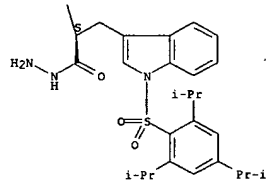

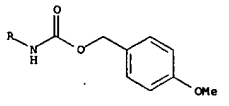

IT 127272-79-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential deblocking and peptide coupling of, with dipeptide active ester)
RN 127272-79-1 HCAPLUS
CN L-Tryptophan, N-[N-[N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-α-glutamyl]-1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-L-tryptophyl]-1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-, 5-(phenylmethyl) ester, 1-[2-[(2,2,2-trichloroethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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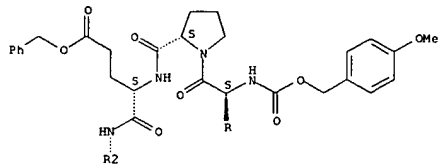
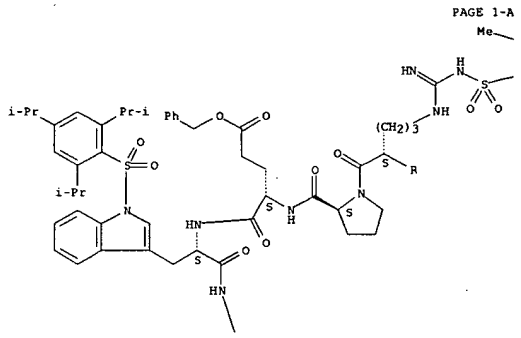


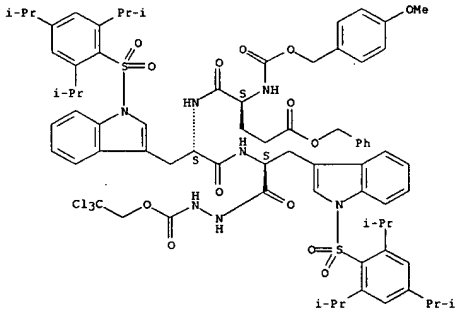
IT 127272-91-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential azide formation and peptide coupling of, with pentapeptide E fragment)
RN 127272-91-7 HCAPLUS
CN L-Tryptophan, N-[N-[N-[1-[N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-N2-[[(4-methoxyphenyl)methoxy]carbonyl]-L-ornithyl]-L-prolyl]-L-α-glutamyl]-1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-L-tryptophyl]-1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-, 5-(phenylmethyl) ester, 1-hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 127272-94-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential deblocking and peptide coupling of, with dynorphin fragment)
RN 127272-94-0 HCAPLUS
CN L-Leucine, N-[N-[N-[O-[(2,6-dichlorophenyl)methyl]-N-[N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-N2-[N2-[N2-[N2-[N-[N-[N2-[[(4-methoxyphenyl)methoxy]carbonyl]-N6-[(phenylmethoxy)carbonyl]-L-lysyl]-1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-L-tryptophyl]-L-α-aspartyl]-L-asparaginyl]-L-glutaminyl]-N6-[(phenylmethoxy)carbonyl]-L-lysyl]-L-ornithyl]-L-tyrosyl]glycyl]glycyl]-L-phenylalanyl]-, 4-cycloheptyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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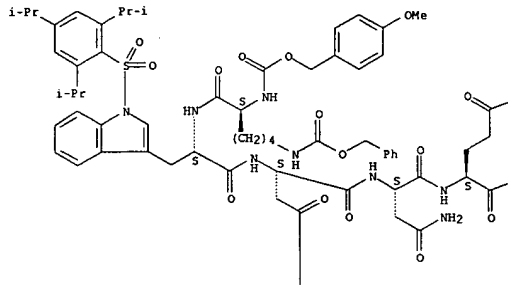

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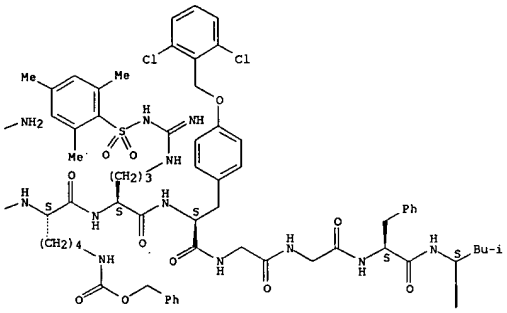

L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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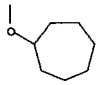

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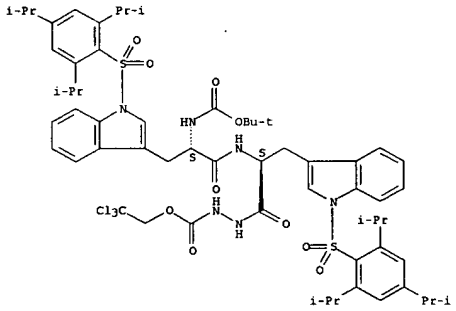
PAGE 2-C



IT 127272-78-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential deblocking and peptide coupling of, with glutamic acid mixed anhydride)
RN 127272-78-0 HCAPLUS
CN L-Tryptophan, N-[N-[(1,1-dimethylethoxy)carbonyl]-1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-L-tryptophyl]-1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-, 2-[(2,2,2-trichloroethoxy)carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 127272-86-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential deblocking and peptide coupling of, with lysine mixed anhydride)
RN 127272-86-0 HCAPLUS
CN L-Leucine, N-[N-[N-[N-[O-[(2,6-dichlorophenyl)methyl]-N-(N2-[N2-[N2-[N2-[N-[(1,1-dimethylethoxy)carbonyl]-1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-L-tryptophyl]-L-α-aspartyl]-L-asparaginyl]-L-glutaminyl]-N6-[(phenylmethoxy)carbonyl]-L-lysyl]-N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl]-L-tyrosyl]glycyl]glycyl]-L-phenylalanyl]-, 4-cycloheptyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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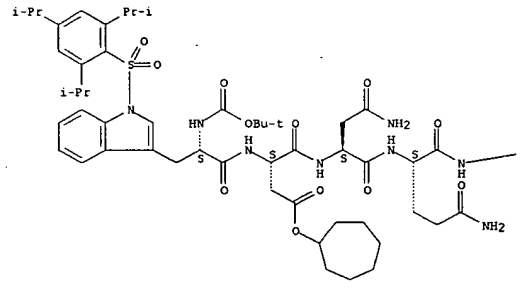
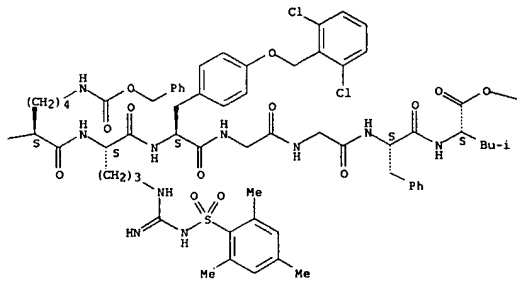


PAGE 1-B

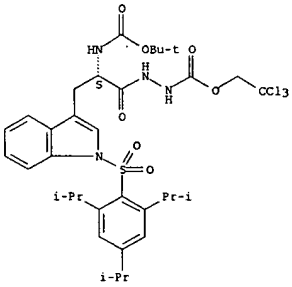


L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

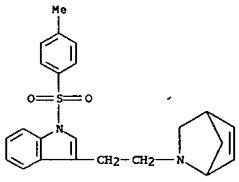


IT 127272-77-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential deblocking and peptide coupling of, with tryptophan mixed anhydride)
RN 127272-77-9 HCAPLUS
CN L-Tryptophan, N-[(1,1-dimethylethoxy)carbonyl]-1-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-, 2-[(2,2,2-trichloroethoxy)carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

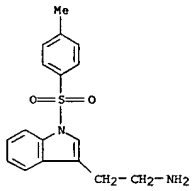


L8 ANSWER 214 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



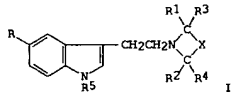
L8 ANSWER 214 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 09 Jun 1990
GI

AB The unmasking of primary amines via the heterocycloreversion of N-alkyl-2-azanorbornenes I (e.g., RN = homoveratrylamine or phenylalanylleucine Me ester residue) can be catalyzed by either copper(II) or a sulfonic acid-based ion exchange resin which obviates the necessity of employing a reactive dienophile to trap the cyclopentadiene is it is produced.
ACCESSION NUMBER: 1990:215761 HCAPLUS
DOCUMENT NUMBER: 112:215761
TITLE: Retro aza Diels-Alder reactions of 2-azanorbornenes: improved methods for the unmasking of primary amines
AUTHOR(S): Grieco, Paul A.; Clark, Jerry D.
CORPORATE SOURCE: Dep. Chem., Indiana Univ., Bloomington, IN, 47405, USA
SOURCE: Journal of Organic Chemistry (1990), 55(8), 2271-2
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:215761
IT 88115-32-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 88115-32-6 HCAPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT 126424-20-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(retro aza Diels-Alder reaction of, catalysts for)
RN 126424-20-2 HCAPLUS
CN 1H-Indole, 3-[2-(2-azabicyclo[2.2.1]hept-5-en-2-yl)ethyl]-1-[(4-

L8 ANSWER 215 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 May 1990
GI



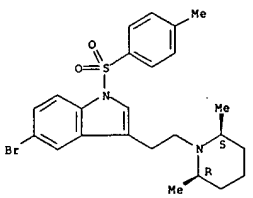
AB The title compds. [I; R = H, lower alkyl, lower alkoxy, Ph(lower alkyl), Ph(lower alkoxy), OH, amino(lower alkyl), F, Cl, Br, cyano, H2NCO, azido; R1, R2 = lower alkyl; R3, R4 = H, lower alkyl; R5 = H, R6CO, R6SO2; R6 = amino, lower alkoxy, Ph, (lower alkyl) Ph; X = (CH2)n; n = 2,3] or their pharmaceutically acceptable salts, useful for treatment of sleep disturbances, migraine, vasospasms, and ischemias (no data), were prepared by acylation of indoles with (COCl)2, amidation of the intermediate indolyl glyoxyl chlorides with pyrrolidine- or piperidine derivs., and reduction of the resulting α-dioxo intermediates with LiAlH4.
ACCESSION NUMBER: 1990:198126 HCAPLUS
DOCUMENT NUMBER: 112:198126
TITLE: Preparation of 3-[2-(pyrrolidino)ethyl]- and 3-[2-(piperidino)ethyl]indoles as selective 5-hydroxytryptamine antagonists
INVENTOR(S): Glaser, Thomas; Raddatz, Siegfried; Traber, Joerg; Allen, George
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 760,195, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4870085 | A | 19890926 | US 1988-175066 | 19880330 |
| DE 3430284 | A1 | 19860227 | DE 1984-3430284 | 19840817 |
| PRIORITY APPLN. INFO.: | | | DE 1984-3430284 A | 19840817 |
| | | | US 1985-760195 A2 | 19850729 |

OTHER SOURCE(S): CASREACT 112:198126; MARPAT 112:198126
IT 126827-56-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as selective hydroxytryptamine antagonist)
RN 126827-56-3 HCAPLUS
CN 1H-Indole, 5-bromo-3-[2-(2,6-dimethyl-1-piperidinyl)ethyl]-1-[(4-methylphenyl)sulfonyl]-, monohydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L8 ANSWER 215 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

L8 ANSWER 216 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 28 Apr 1990

AB The title compds. R1-A-D-Trp(R2)-Phe-R3 [I; R1 = H, protective group; R2 = H, protective group, carbamoylalkyl, (protected) carboxyalkyl; R3 = aralkyl, NR4R5, OR6; R4, R5 = H, (substituted) aryl, alkyl; R4R5 = atoms to complete benzene-condensed lower alkylene chains; R6 = H, (substituted) aryl, alkyl; A = bond, 1-2 amino acid residues; when A = D-Trp, R4 ≠ H], useful as tachykinin antagonists for treating asthma, were prepared Thus, BOC-D-Trp(CHO)-OH, (BOC = Me3CO2C), H-Phe-OBzl (Bzl = PhCH2), and hydroxybenzotriazole in CH2Cl2/DMF were treated with 1-ethyl-3-(3'-dimethylaminopropyl)carbodiimide with ice cooling to give BOC-D-Trp(CHO)-Phe-OBzl. Several I at 1 μg/mL gave 100% inhibition of 3H-labeled substance P binding to guinea pig lung membrane fractions.

ACCESSION NUMBER: 1990:158977 HCAPLUS
DOCUMENT NUMBER: 112:158977
TITLE: Preparation and testing of triptophylphenylalanine derivatives as tachykinin antagonists
INVENTOR(S): Matsuo, Masaaki; Hagiwara, Daijiro; Miyake, Hiroshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 115 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 333174 | A2 | 19890920 | EP 1989-104617 | 19890315 |
| EP 333174 | A3 | 19910529 | | |
| EP 333174 | B1 | 19960508 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| ZA 8901551 | A | 19891129 | ZA 1989-1551 | 19890228 |
| US 5187156 | A | 19930216 | US 1989-317858 | 19890302 |
| FI 8901176 | A | 19890917 | FI 1989-1176 | 19890313 |
| NO 8901082 | A | 19890918 | NO 1989-1082 | 19890314 |
| HU 49628 | A2 | 19891030 | HU 1989-1226 | 19890314 |
| DK 8901263 | A | 19890917 | DK 1989-1263 | 19890315 |
| AU 8931324 | A | 19890921 | AU 1989-31324 | 19890315 |
| CN 1037156 | A | 19891115 | CN 1989-101276 | 19890315 |
| CA 1329444 | C | 19940510 | CA 1989-593831 | 19890315 |
| AT 137763 | T | 19960515 | AT 1989-104617 | 19890315 |
| JP 01287095 | A | 19891117 | JP 1989-64887 | 19890316 |

PRIORITY APPLN. INFO.: GB 1988-6193 A 19880316
GB 1988-25323 A 19881028
GB 1989-1964 A 19890130

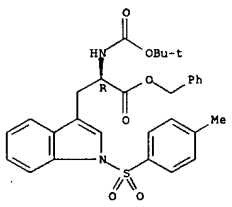
OTHER SOURCE(S): MARPAT 112:158977

IT 126090-34-4P 126090-35-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for tachykinin antagonist)

RN 126090-34-4 HCAPLUS
CN D-Tryptophan, N-[(1,1-dimethylethoxy)carbonyl]-1-[(4-methylphenyl)sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

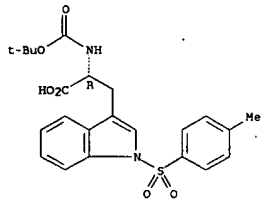
Absolute stereochemistry.

L8 ANSWER 216 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 126090-35-5 HCAPLUS
CN D-Tryptophan, N-[(1,1-dimethylethoxy)carbonyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

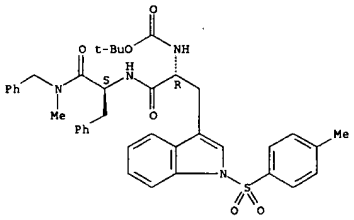


IT 126088-78-6P 126088-94-6P 126090-11-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as tachykinin antagonist for treating asthma)

RN 126088-78-6 HCAPLUS
CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-1-[(4-methylphenyl)sulfonyl]-D-tryptophyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

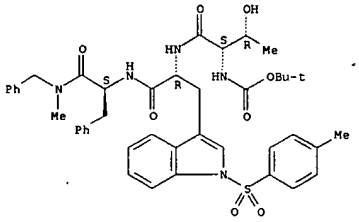
Absolute stereochemistry.

L8 ANSWER 216 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 126088-94-6 HCAPLUS
CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-threonyl-1-[(4-methylphenyl)sulfonyl]-D-tryptophyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

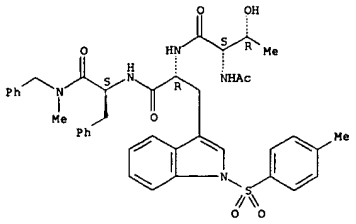
Absolute stereochemistry.



RN 126090-11-7 HCAPLUS
CN L-Phenylalaninamide, N-acetyl-L-threonyl-1-[(4-methylphenyl)sulfonyl]-D-tryptophyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 216 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Apr 1990
GI

H-Lys-Ala-Pro-Ser-Gly-Arg-Met-Ser-Ile-Val-
Lys-Asn-Leu-Gln-Asn-Leu-Asp-Pro-Ser-His-Arg-
Ile-Ser-Asp-Arg-Asp-Tyr-Met-Gly-Trp-Met-Asp-
Phe-$NH_2$ I

AB The title compound (I) was prepared by coupling of 8 appropriate peptide fragments, which were sep. prepared by coupling of the appropriate protected amino acids.

ACCESSION NUMBER: 1990:139843 HCAPLUS
DOCUMENT NUMBER: 112:139843
TITLE: Preparation of tritriacontapeptide amide (LCCK-33)
INVENTOR(S): Yajima, Haruaki; Fujii, Nobutaka; Kiyama, Shinya
PATENT ASSIGNEE(S): Shin-Etsu Chemical Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

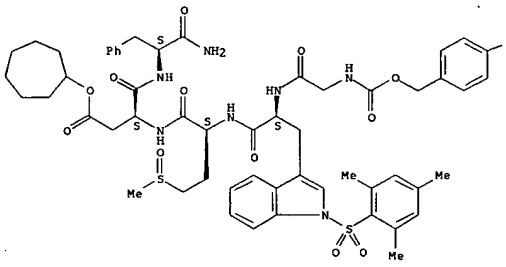
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 01250398 | A | 19891005 | JP 1988-80117 | 19880331 |
| PRIORITY APPLN. INFO.: | | | JP 1988-80117 | 19880331 |

IT 120285-87-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptide coupling of, in preparation of human cholecystokinin)
RN 120285-87-2 HCAPLUS
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]glycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, cycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



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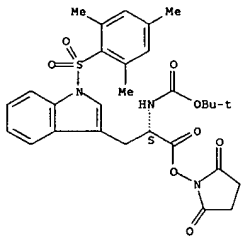
OMe

IT 100642-70-4P 120285-75-8P 120285-80-5P
120285-88-3P 120285-89-4P 120285-90-7P
120286-02-4P 120298-58-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of human cholecystokinin)
RN 100642-70-4 HCAPLUS
CN Carbamic acid, [2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxo-1-[[1-[(2,4,6-trimethylphenyl)sulfonyl]-1H-indol-3-yl]methyl]ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

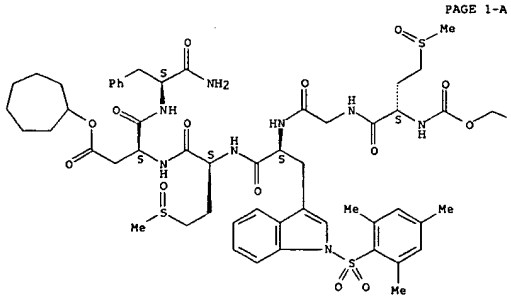
L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 120285-75-8 HCAPLUS
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, cycloheptyl ester (9CI) (CA INDEX NAME)

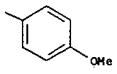
Absolute stereochemistry.

PAGE 1-A



L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

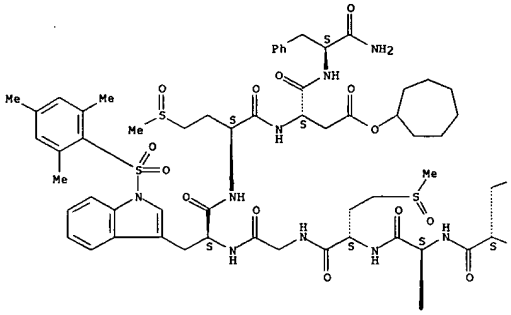
PAGE 1-B



RN 120285-80-5 HCAPLUS
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-α-aspartyl-N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-α-aspartyl-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, tricycloheptyl ester (9CI) (CA INDEX NAME)

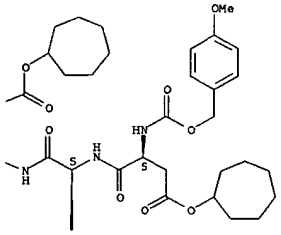
Absolute stereochemistry.

PAGE 1-A

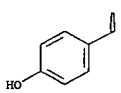


L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

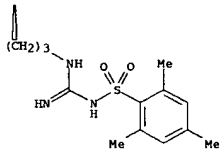
PAGE 1-B



PAGE 2-A



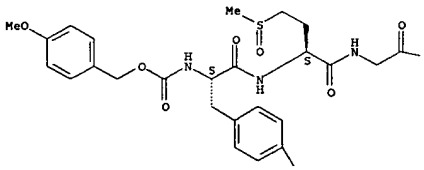
PAGE 2-B



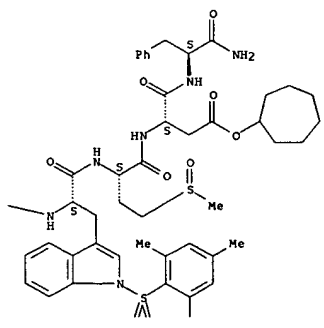
RN 120285-88-3 HCAPLUS
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, cycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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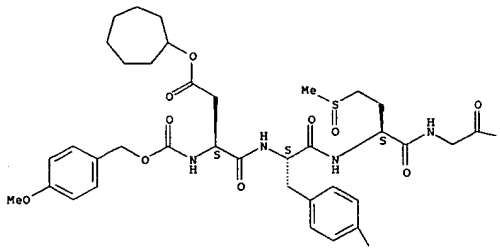
RN 120285-89-4 HCAPLUS
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-α-aspartyl-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, dicycloheptyl ester (9CI) (CA INDEX NAME)

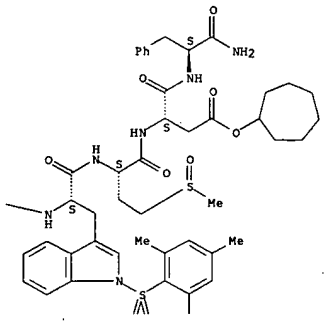
Absolute stereochemistry.

PAGE 1-A



L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-B



PAGE 2-A

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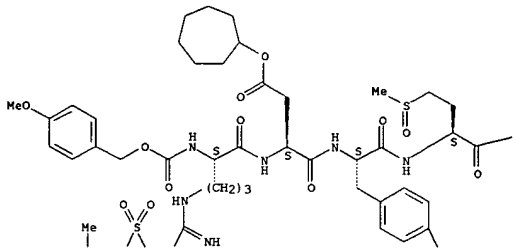
RN 120285-90-7 HCAPLUS
CN L-Phenylalaninamide, N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-N2-[[(4-methoxyphenyl)methoxy]carbonyl]-L-ornithyl-L-α-aspartyl-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, dicycloheptyl ester (9CI) (CA INDEX NAME)

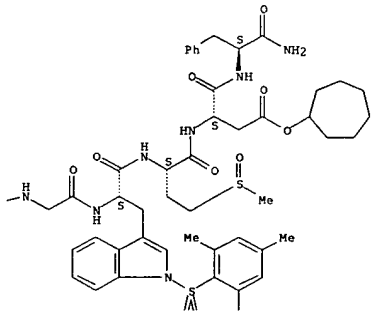
Absolute stereochemistry.

L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

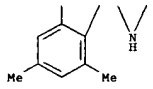


PAGE 1-B



L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

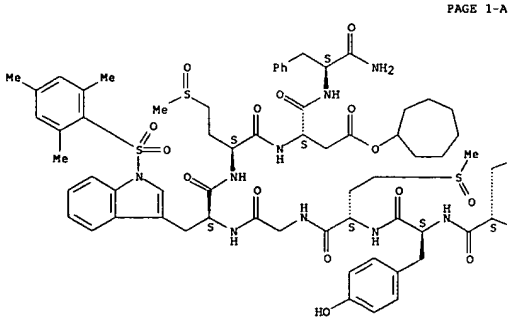


PAGE 2-B

RN 120286-02-4 HCAPLUS
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-histidyl-N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-isoleucyl-L-seryl-L-α-aspartyl-N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-α-aspartyl-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, tricycloheptyl ester (9CI) (CA INDEX NAME)

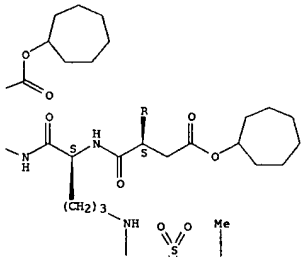
Absolute stereochemistry.

PAGE 1-A

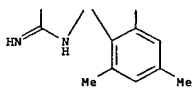


L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

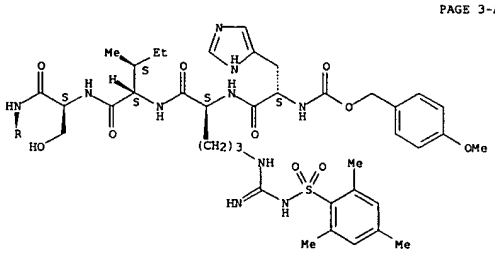
PAGE 1-B



PAGE 2-B



PAGE 3-A



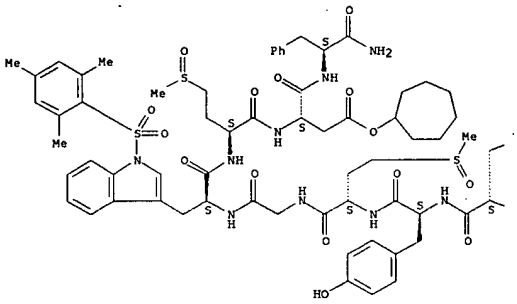
L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 120298-58-0 HCAPLUS

CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-α-aspartyl-L-prolyl-O-(phenylmethyl)-L-seryl-L-histidyl-N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-isoleucyl-L-seryl-L-α-aspartyl-N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-α-aspartyl-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, 8,10,16-tricycloheptyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

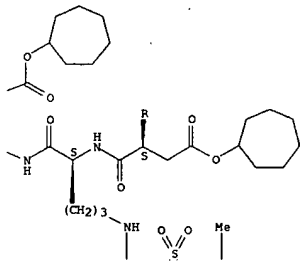
Absolute stereochemistry.

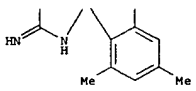
PAGE 1-A



L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-B

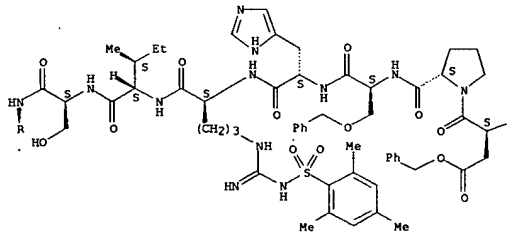




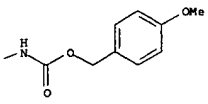
PAGE 2-B

L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 3-A



PAGE 3-B



L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 13 Apr 1990

GI

H-Lys-Ala-Pro-Ser-Gly-Arg-Met-Ser-Ile-Val-

Lys-Asn-Leu-Gln-Asn-Leu-Asp-Pro-Ser-His-Arg-

Ile-Ser-Asp-Arg-Asp-Tyr(R)-Met-Gly-Trp-Met-Asp-

Phe-NH2 I

AB Polypeptides, e.g. human cholecystokinin (I; R = H (II), containing Tyr, Ser, and/or Thr, are selectively sulfonylated at the Tyr OH group by (1) protection of the polypeptide NH2 groups with a base-cleavable protective group, e.g. 9-fluorenylmethyloxycarbonyl (Fmoc), and (2) selective masking of the Ser and/or Thr-OH groups, e.g. with tert-BuPh2Si, followed by sulfonylation. Copresence of PhOH during the (1) and (2) procedures further prevents the modification of Tyr-OH group and particularly improves the selectivity of the masking (2). Thus, 7.8 μmol II (prepared by coupling of protected peptide fragments) and 30 equiv PhOH were reacted 2 h under ice-cooling with 30 equiv N-(9-fluorenylmethyloxycarbonyloxy)succinimide in aqueous DMF to give Fmoc derivative which was treated with 120 equiv tert-BuPh2SiCl in DMF in the presence of 120 equiv PhOH and 120 equiv imidazole to give, after chromatog. on Sephadex LH-20, protected II. This was stirred 24 h at 25° with 100 equiv pyridine-SO3 complex in DMF containing 30 equiv HSCH2CH2SH, chromatographed on Sephadex LH-20, and then deprotected with Bu4N+ F- in DMF to give, after chromatog. on Sephadex G-10, ion exchange chromatog., and finally HPLC on Asahipak ODS-50 column, 15 % I (R = SO3H).

ACCESSION NUMBER: 1990:139842 HCAPLUS
DOCUMENT NUMBER: 112:139842
TITLE: Selective sulfonylation of tyrosine-, serine-, and/or threonine-containing polypeptides at hydroxy group of tyrosine
INVENTOR(S): Yajima, Haruaki; Fujii, Nobutaka; Kiyama, Shinya
PATENT ASSIGNEE(S): Shin-Etsu Chemical Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

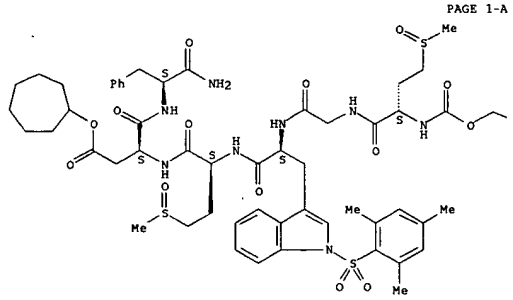
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 01250396 | A | 19891005 | JP 1988-80116 | 19880331 |
| JP 06081759 | B | 19941019 | | |
| US 5059679 | A | 19911022 | US 1989-331292 | 19890330 |
| PRIORITY APPLN. INFO.: | | | JP 1988-80116 A | 19880331 |

IT 120285-75-8

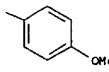
L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptide coupling of, in prepn. of human cholecystokinin)
RN 120285-75-8 HCAPLUS
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, cycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

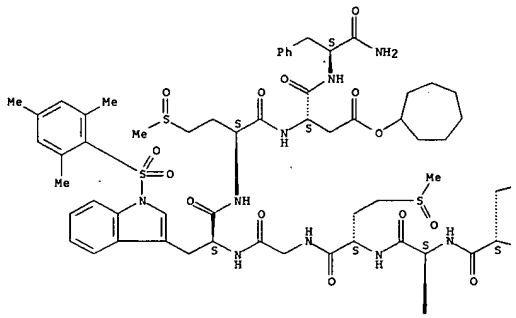


IT 120285-73-6P 120285-76-9P 120285-77-0P
120285-78-1P 120285-79-2P 120298-57-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for human cholecystokinin)
RN 120285-73-6 HCAPLUS

L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-α-aspartyl-N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-α-aspartyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, tricycloheptyl ester (9CI) (CA INDEX NAME)

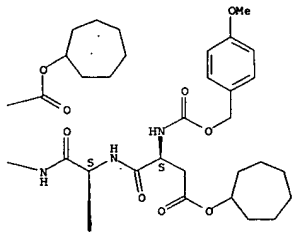
Absolute stereochemistry.

PAGE 1-A

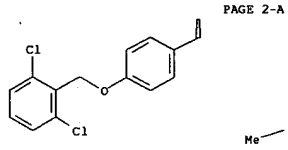


L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

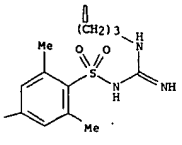
PAGE 1-B



PAGE 2-A



PAGE 2-B

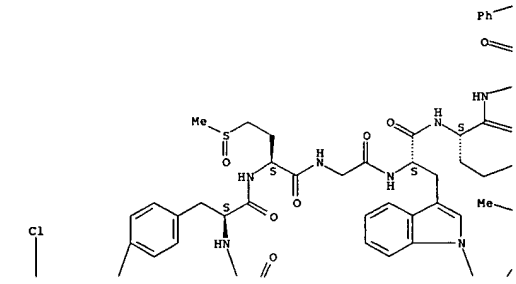


RN 120285-76-9 HCAPLUS
CN L-Phenylalaninamide, O-[(2,6-dichlorophenyl)methyl]-N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, cycloheptyl ester

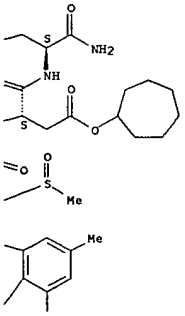
L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

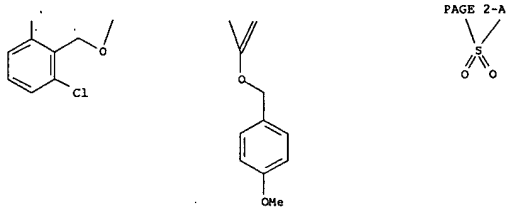


PAGE 1-B



L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A



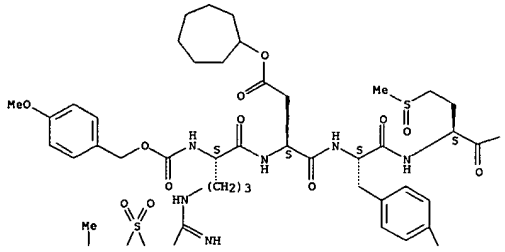
PAGE 2-B

RN 120285-77-0 HCAPLUS
CN L-Phenylalaninamide, N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-N2-[[(4-methoxyphenyl)methoxy]carbonyl]-L-ornithyl-L-α-aspartyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, dicycloheptyl ester (9CI) (CA INDEX NAME)

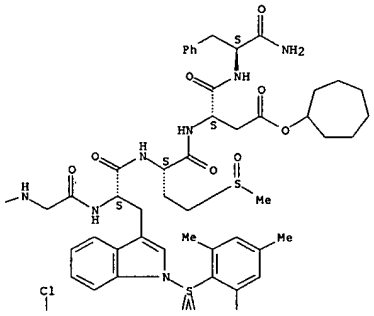
Absolute stereochemistry.

L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

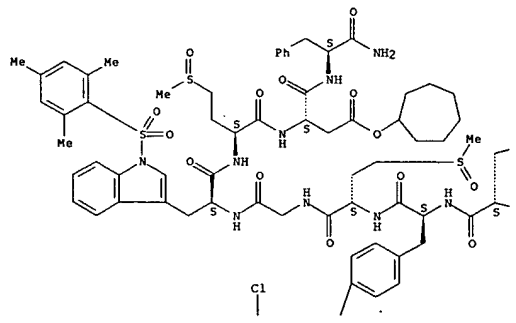
PAGE 2-A

PAGE 2-B

RN 120285-78-1 HCAPLUS
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-histidyl-N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-isoleucyl-L-seryl-L-α-aspartyl-N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-α-aspartyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, tricycloheptyl ester (9CI) (CA INDEX NAME)

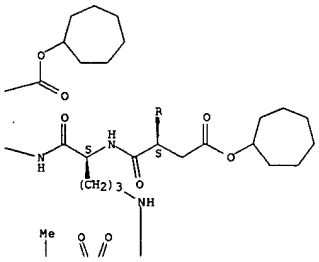
Absolute stereochemistry.

PAGE 1-A

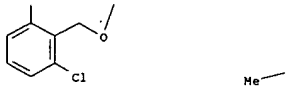


L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

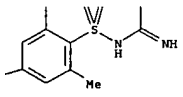
PAGE 1-B



PAGE 2-A

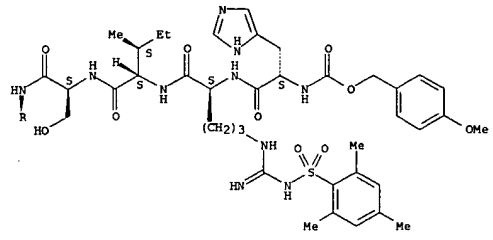


PAGE 2-B



L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 3-A

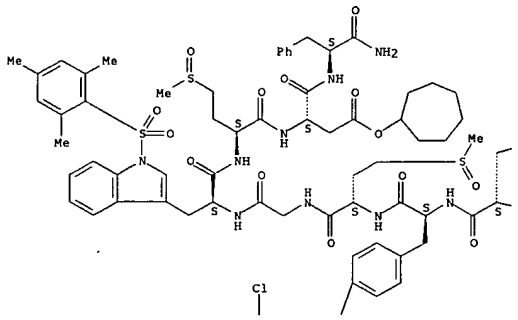


RN 120285-79-2 HCAPLUS
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-α-aspartyl-L-prolyl-O-(phenylmethyl)-L-seryl-L-histidyl-N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-isoleucyl-L-seryl-L-α-aspartyl-N5-[imino[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-α-aspartyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, 8,10,16-tricycloheptyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

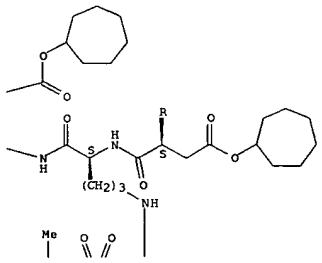
Absolute stereochemistry.

L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

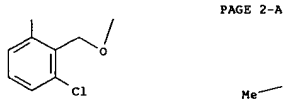


PAGE 1-B

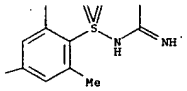


L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

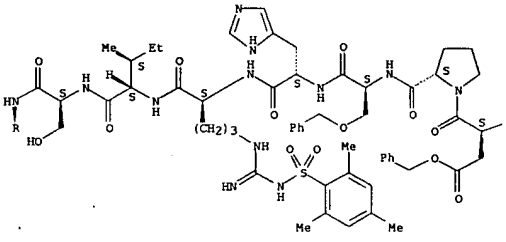
PAGE 2-A



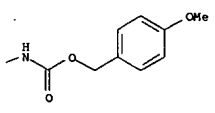
PAGE 2-B



PAGE 3-A



PAGE 3-B

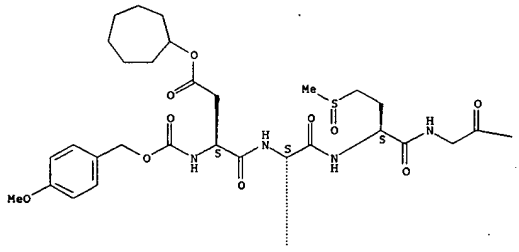


L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 120298-57-9 HCAPLUS
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-α-aspartyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, dicycloheptyl ester (9CI) (CA INDEX NAME)

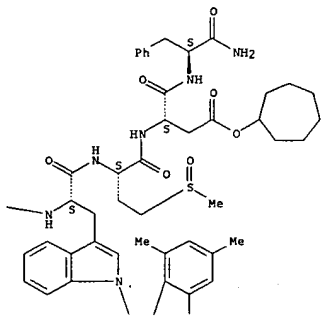
Absolute stereochemistry.

PAGE 1-A

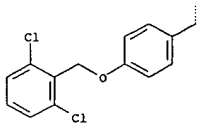


L8 ANSWER 218 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-B



PAGE 2-A



PAGE 2-B

L8 ANSWER 219 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 10 Nov 1989
GI

H-Phe-Leu-Pro-His-Val-Phe-Ala-Glu-Leu-Ser-Asp-
Arg-Lys-Gly-Phe-Val-Gln-Gly-Asn-Gly-Ala-Val-
Glu-Ala-Leu-His-Asp-His-Phe-Tyr-Pro-Asp-Trp-
Met-Asp-Phe-$NH_2$ I

AB A 36-residue peptide amide corresponding to the entire amino acid sequence of chicken antral peptide (I) was synthesized by assembling seven peptide fragments via the azide, followed by PhSMe-mediated deprotection with Me3SiBr and Me3SiO3SCF3 in CF3CO2H. The synthetic peptide stimulated gastric secretion, but not pancreatic secretion.

ACCESSION NUMBER: 1989:574652 HCAPLUS
DOCUMENT NUMBER: 111:174652
TITLE: Studies on peptides. CLXIV. Solution-phase synthesis of a 36-residue peptide amide corresponding to the entire amino acid sequence of chicken antral peptide
AUTHOR(S): Guo, Lili; Murayama, Eigoro; Funakoshi, Susumu; Fujii, Nobutaka; Aono, Mitsuru; Matsuda, Masayuki; Moriga, Motoyuki; Yajima, Haruaki
CORPORATE SOURCE: Fac. Pharm. Sci., Kyoto Univ., Kyoto, 606, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1988), 36(11), 4364-76
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:174652

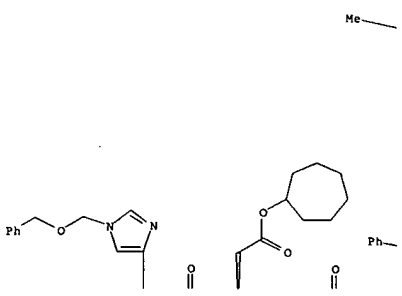
IT 123197-13-7P 123197-14-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential deblocking and peptide coupling of, with hexapeptide azide)

RN 123197-13-7 HCAPLUS
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-leucyl-1-[(phenylmethoxy)methyl]-L-histidyl-L-α-aspartyl-1-[(phenylmethoxy)methyl]-L-histidyl-L-phenylalanyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-prolyl-L-α-aspartyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, tricycloheptyl ester (9CI) (CA INDEX NAME)

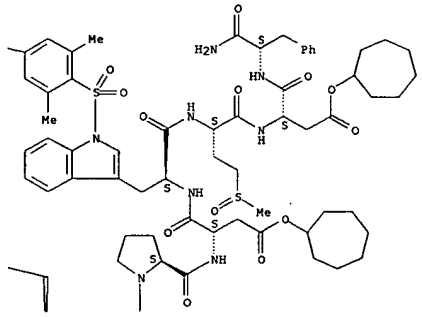
Absolute stereochemistry.

L8 ANSWER 219 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

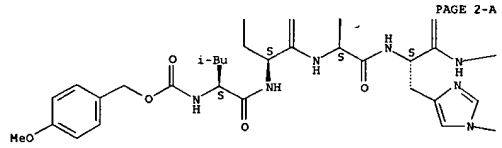


PAGE 1-B

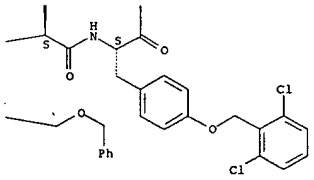


L8 ANSWER 219 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A



PAGE 2-B



RN 123197-14-8 HCAPLUS
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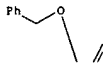
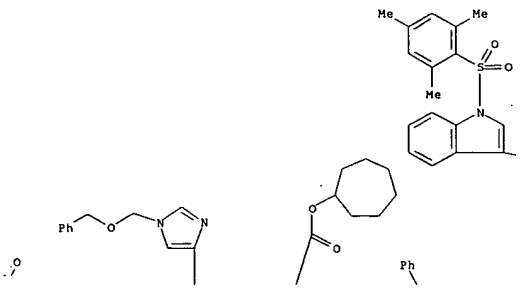
Absolute stereochemistry.

L8 ANSWER 219 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

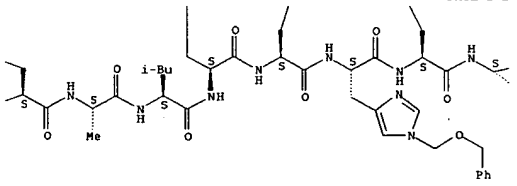


PAGE 1-B

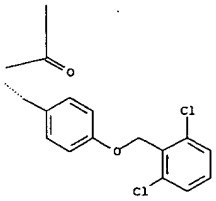


L8 ANSWER 219 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-B



PAGE 2-C

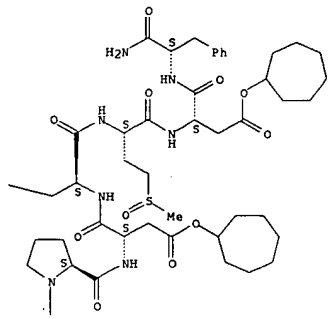


IT 123196-94-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential deblocking and peptide coupling of, with tyrosine mixed anhydride)
RN 123196-94-1 HCAPLUS
CN L-Phenylalaninamide, 1-[[(4-methoxyphenyl)methoxy]carbonyl]-L-prolyl-L-α-aspartyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, dicycloheptyl ester (9CI) (CA INDEX NAME)

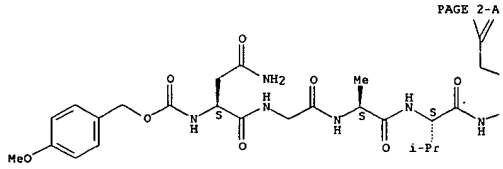
Absolute stereochemistry.

L8 ANSWER 219 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-C

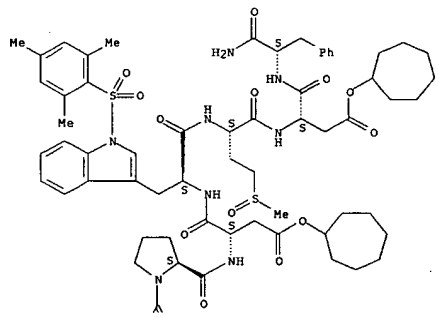


PAGE 2-A

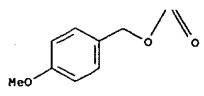


L8 ANSWER 219 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



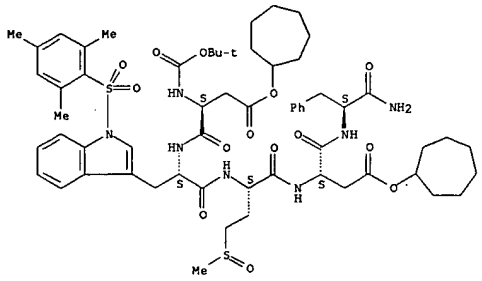
PAGE 2-A



IT 123196-93-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and sequential deblocking and peptide coupling reactions of)
RN 123196-93-0 HCAPLUS
CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-α-aspartyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, dicycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 219 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

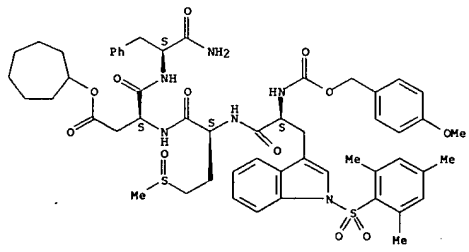


IT 123196-84-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for chicken antral peptide)
RN 123196-84-9 HCAPLUS
CN L-Phenylalaninamide, O-[(2,6-dichlorophenyl)methyl]-N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl-L-prolyl-L-α-asparty1-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, dicycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

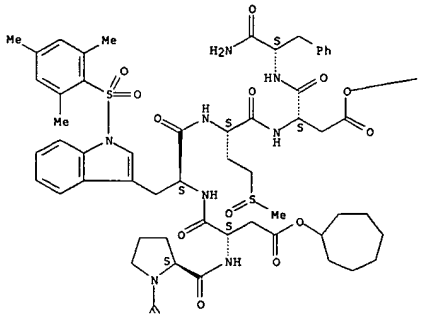
L8 ANSWER 219 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
active ester)
RN 123196-91-8 HCAPLUS
CN L-Phenylalaninamide, N-[[(4-methoxyphenyl)methoxy]carbonyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-α-aspartyl-, cycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



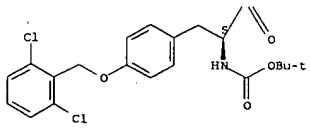
L8 ANSWER 219 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

PAGE 2-A



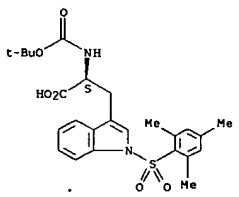
IT 123196-91-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(sequential deblocking and peptide coupling of, with aspartic acid

L8 ANSWER 220 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 Aug 1989
AB Trialkylsilyl halides, if necessary in combination with a cation scavenger, e.g. thioethers, are used as selective, noncorrosive, and relatively side product-free deprotecting agents in the peptide synthesis. A protected porcine vasoactive intestinal polypeptide (pVIP), i.e. p-MeOZ-His-Ser(Bzl)-Asp-Ala-Val-Phe-Thr-Asp-Asn-Tyr-Thr-Arg(Mts)-Leu-Arg(Mts)-Lys-Gln-Met(O)-Ala-Val-Lys(Z)-Lys(Z)-Tyr-Leu-Asn-Ser-Ile-Leu-Asn-NH2 [Bzl = PhCH2, Mts = mesitylenesulfonyl, Z = PhCH2O2C] was treated with 1M Me3SiBr-thioanisole/CF3CO2H 3 h at 0° to give, after gel filtration purification with Sephadex G-25, (93% pVIP) which was repurified by
Sephadex G-25 using gradient elution with 0.01M and 0.2M AcONH4 to give 48% pVIP (a total yield 45 vs. 48 and 39% by HF and CF3CO2H/anisole, resp.).
ACCESSION NUMBER: 1989:458361 HCAPLUS
DOCUMENT NUMBER: 111:58361
TITLE: Trialkysilyl halides in combination with a cation scavenger as deprotecting agents in peptide synthesis
INVENTOR(S): Yajima, Haruaki; Fujii, Nobutaka; Nomizu, Kiyoshi; Asano, Katsuhiko
PATENT ASSIGNEE(S): Kirin Brewery Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 01022897 | A | 19890125 | JP 1987-175880 | 19870716 |
| PRIORITY APPLN. INFO.: | | | JP 1987-175880 | 19870716 |

OTHER SOURCE(S): MARPAT 111:58361
IT 92916-47-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(deprotection of, by trimethylsilyl bromide and anisole)
RN 92916-47-7 HCAPLUS
CN L-Tryptophan, N-[(1,1-dimethylethoxy)carbonyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10518612 and 10519219

=> fil reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 112.37 | 465.54 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -15.75 | -16.50 |

FILE 'REGISTRY' ENTERED AT 10:12:37 ON 18 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 15 DEC 2006 HIGHEST RN 915749-75-6
DICTIONARY FILE UPDATES: 15 DEC 2006 HIGHEST RN 915749-75-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and

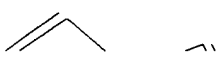
10518612 and 10519219

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-13 10-11 11-16 13-14 14-15
15-16
exact/norm bonds :
5-7 6-9 7-8 8-9 9-12 12-17
exact bonds :
11-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-13 10-11 11-16 13-14 14-15 15-16
isolated ring systems :
containing 10 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS

L9 STRUCTURE UPLOADED

=> d l9
L9 HAS NO ANSWERS
L9 STR



10518612 and 10519219

PROJECTED ANSWERS: 5890 TO 8136

L10 50 SEA SSS SAM L9

=> s l9 full
FULL SEARCH INITIATED 10:14:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 168146 TO ITERATE

100.0% PROCESSED 168146 ITERATIONS 6889 ANSWERS
SEARCH TIME: 00.00.01

L11 6889 SEA SSS FUL L9

=> fil hcaplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 168.26 | 633.80 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | 0.00 | -16.50 |

FILE 'HCAPLUS' ENTERED AT 10:14:47 ON 18 DEC 2006

10518612 and 10519219

L13 ANSWER 200 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 17 Nov 2005
ACCESSION NUMBER: 2005:1215907 HCAPLUS
DOCUMENT NUMBER: 143:452897
TITLE: Compositions including opioids and methods of their use in treating pain
INVENTOR(S): Leighton, Harry Jefferson; Borsook, David; Lawton, Stephen Ashley
PATENT ASSIGNEE(S): Descartes Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005107467 | A2 | 20051117 | WO 2005-US15044 | 20050429 |
| WO 2005107467 | A3 | 20060413 | | |

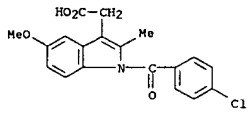
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-567539P P 20040503
US 2004-584534P P 20040701

AB The invention features compns. for treatment of pain or nociception and methods of their use. The compns. include the combination of two or more drugs, such as an opioid (e.g., delta, kappa, or mu), a non-steroidal anti-inflammatory drug (NSAID) or acetaminophen, and a dopaminergic agent. These drug combinations may be administered alone (i.e., treatment is accomplished using a composition that consists of or consists essentially of the drug combination itself), or the drug combinations may be administered in conjunction with yet addnl. compds.

IT 53-86-1, Indomethacin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. including opioids non-steroidal anti-inflammatory drugs and dopaminergic agents for treating pain and decreasing side effects)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

L13 ANSWER 200 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

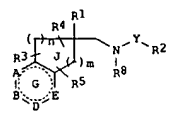



L13 ANSWER 201 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Nov 2005
ACCESSION NUMBER: 2005:1200967 HCAPLUS
DOCUMENT NUMBER: 143:460154
TITLE: Preparation of fused heterocyclic compounds as potassium channel modulators
INVENTOR(S): Johnson, James A.; Lloyd, John; Kover, Alexander
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005105096 | A2 | 20051110 | WO 2005-US12542 | 20050414 |
| WO 2005105096 | A3 | 20060706 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005250783 A1 20051110 US 2005-104856 20050413
PRIORITY APPLN. INFO.: US 2004-563143P P 20040415
OTHER SOURCE(S): MARPAT 143:460154
GI

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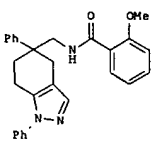


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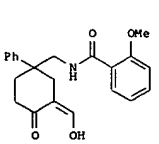
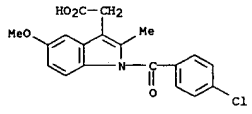



III

L13 ANSWER 201 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Compds. of formula I [n and m are integers such that ring J is a 5-7 membered ring; A, B, D, and E are -CR6=, -CR6-, -CO-, -NR7-, -N=, -O-, -S-, a bond or a double bond, such that ring G is a 5-6 membered heterocycle with at least one N atom; R1 = aryl substituted with one or more X; X = -(CH2)p(Z1)q(CH2)aZ2 which substituents may together form an (un)substituted carbocycle or heterocycle; R2 = aryl, heteroaryl, cycloalkyl or heterocyclo each optionally substituted with one or more X; Y = -CO-, -C(=S)-, -SO2, etc.; R3-8 are the same or different and independently equal to X, or R3-5 may in pairs of two form an (un)substituted carbocycle or heterocycle, or R6 and R7 together in pairs of two form an (un)substituted carbocycle or heterocycle, etc.; Z1 = S, SO, CO, etc.; Z2 = H, (un)substituted alkyl, alkenyl, etc.; p and a independently = 0-10; q = 0-1], and their pharmaceutically acceptable salts, are prepared and disclosed as potassium channel modulators (no data). Thus, e.g., II was prepared by cyclocondensation of III (preparation given) with Ph hydrazine. Pharmaceutical compns. are provided.

IT 53-86-1, Indomethacin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fused heterocyclic compds. and their use for treatment of diseases)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 202 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Nov 2005
ACCESSION NUMBER: 2005:1200856 HCAPLUS
DOCUMENT NUMBER: 143:458529
TITLE: Methods of treating ankylosing spondylitis using anti-TNF antibodies and peptides of human tumor necrosis factor
INVENTOR(S): Le, Junming; Vilcek, Jan T.; Daddona, Peter E.; Ghrayeb, John; Knight, David M.; Siegel, Scott A.; Shealy, David J.
PATENT ASSIGNEE(S): Centocor, Inc., USA; New York University
SOURCE: U.S. Pat. Appl. Publ., 113 pp., Cont.-in-part of U.S. Ser. No. 637,759.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005249735 | A1 | 20051110 | US 2004-10954 | 20041213 |
| US 2003017584 | A1 | 20030123 | US 2001-756398 | 20010108 |
| US 6835823 | B2 | 20041228 | | |
| US 2003049725 | A1 | 20030313 | US 2001-920137 | 20010801 |
| US 2002022720 | A1 | 20020221 | US 2001-927703 | 20010810 |
| ZA 2003001856 | A | 20040621 | ZA 2003-1856 | 20030306 |
| US 2004120952 | A1 | 20040624 | US 2003-637759 | 20030808 |
| WO 2006065975 | A2 | 20060622 | WO 2005-US45388 | 20051213 |
| WO 2006065975 | A3 | 20060831 | | |
| WO 2006065975 | B1 | 20061019 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

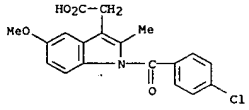
PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 2000-223360P | P | 20000807 |
| US 2000-236826P | P | 20000929 |
| US 2001-756398 | A1 | 20010108 |
| US 2001-920137 | A2 | 20010801 |
| US 2001-927703 | A2 | 20010810 |
| US 2003-637759 | A2 | 20030808 |
| US 1991-670827 | B2 | 19910318 |
| US 1992-853606 | B2 | 19920318 |
| US 1992-943852 | B2 | 19920911 |
| US 1993-10406 | B2 | 19930129 |
| US 1993-13413 | B2 | 19930202 |
| US 1994-192093 | A2 | 19940204 |
| US 1994-192102 | A2 | 19940204 |
| US 1994-192861 | A2 | 19940204 |

L13 ANSWER 202 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | |
|---|---|---|
| US 1994-324799 | A2 | 19941018 |
| US 1995-570674 | B3 | 19951211 |
| US 1998-133119 | A3 | 19980812 |
| US 2004-10954 | A | 20041213 |

AB Anti-TNF antibodies, fragments and regions thereof which are specific for human tumor necrosis factor-α (TNFα) and are useful in vivo diagnosis and therapy of a number of TNFα-mediated pathologies and conditions, including ankylosing spondylitis, as well as polynucleotides coding for murine and chimeric antibodies, methods of producing the antibody, methods of use of the anti-TNF antibody, or fragment, region or derivative thereof, in immunoassays and immunotherapeutic approaches are provided.
IT 53-86-1, Indomethacin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods of treating ankylosing spondylitis using anti-tumor necrosis factor antibodies and peptides of human tumor necrosis factor)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



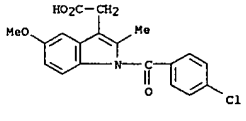

L13 ANSWER 203 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 04 Nov 2005
ACCESSION NUMBER: 2005:1173832 HCAPLUS
DOCUMENT NUMBER: 143:426980
TITLE: Skin compositions containing Punica granatum flower extracts
INVENTOR(S): Yamahara, Joji
PATENT ASSIGNEE(S): Sakamoto Yakusoen Y. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2005306831 | A | 20051104 | JP 2004-151064 | 20040420 |

PRIORITY APPLN. INFO.:

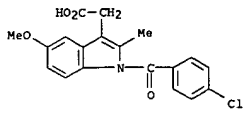
| | | |
|---|---|---|
| JP 2004-151064 | | 20040420 |

AB The invention provides a skin composition characterized by containing Punica granatum flower extract as fibroblast-derived elastase inhibitor, wherein the composition has anti-aging and skin-lightening effect. Skin compns. containing further specified components are also disclosed. For example, a skin lotion containing Punica granatum flower extract 1, glycerin 3, 1,3-butylene glycol 2, polyethylene glycol 2, ethanol 5, Me paraben 0.1, xanthan gum 0.1, citric acid 0.01, sodium citrate 0.03, trimethylglycine 1, and water balance to 100 % was formulated.
IT 53-86-1, Indomethacine
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(skin compns. containing punica granatum flower extract and other active components)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)




L13 ANSWER 204 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 04 Nov 2005
ACCESSION NUMBER: 2005:1172812 HCAPLUS
DOCUMENT NUMBER: 144:93988
TITLE: Statistical optimization of indomethacin pellets coated with pH-dependent methacrylic polymers for possible colonic drug delivery
AUTHOR(S): Akhgari, A.; Afrasiabi Garekani, H.; Sadeghi, F.; Azimaie, M.
CORPORATE SOURCE: School of Pharmacy and Pharmaceutical Research Center, Mashhad University of Medical Sciences, Mashhad, Iran
SOURCE: International Journal of Pharmaceutics (2005), 305(1-2), 22-30
CODEN: IJPHDE; ISSN: 0378-5173
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The objective of this study was to evaluate the effect of 2 factors (ratio of Eudragit S100 and Eudragit L100 and the coating level) on indomethacin release from pellets to optimize coating formulations for colonic delivery. Coating formulations were designed based on the full factorial design. Two independent variables were the ratio of Eudragit S100:Eudragit L100 (1:4, 1:1 and 1:0) and the level of coating (10%, 15% and 20%, weight/weight), resp. The evaluated responses were lag time prior to drug release at pH 6.8 (the time required for drug release up to 2%) and percent of drug release at pH 6.8 in 5 h. Polymers were coated onto the pellets containing 20% (weight/weight) indomethacin, using a fluidized bed coating apparatus Dissoln. test was carried out in media with different pH (1.2, 6.5, 6.8 and 7.2). The dissoln. data revealed that the level of coating and the ratio of polymers are very important to achieve optimum formulation. Using responses and resulted statistical equations, optimum formulation consisted of Eudragit S100:L100 in 4:1 ratio and the level of coating (20%) was predicted. Practical results showed that the pellets prepared according to above formulation released no indomethacin at pH 1.2 (simulating stomach pH) and pH 6.5 (simulating proximal part of small intestine pH); drug release was slowly at pH 6.8 (simulating lower part of small intestine pH), but it was fast at pH 7.2 (simulating terminal ileum pH). The results of this study revealed that factorial design is a suitable tool for optimization of coating formulations to achieve colon delivery. It was shown that coating formulation consisted of Eudragit S100:Eudragit L100 in 4:1 ratio at 20% coating level has potential for colonic delivery of indomethacin loaded pellets. The optimized formulation produced dissoln. profiles that were close to predicted values.
IT 53-86-1, Indomethacin
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(statistical optimization of indomethacin pellets coated with pH-dependent methacrylic polymers for colonic drug delivery)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

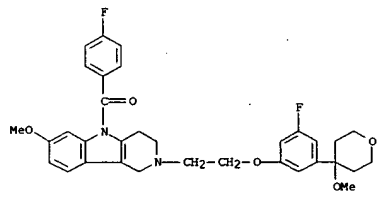
L13 ANSWER 204 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

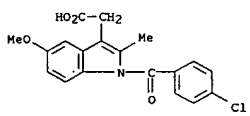
L13 ANSWER 205 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 04 Nov 2005
ACCESSION NUMBER: 2005:1172613 HCAPLUS
DOCUMENT NUMBER: 144:183992
TITLE: Modification of eicosanoid profile in human blood treated by dual COX/LOX inhibitors
AUTHOR(S): Pommery, J.; Pommery, N.; Henichart, J.-P.
CORPORATE SOURCE: Institut de Chimie Pharmaceutique Albert Lespagnol, Lille, F-59006, Fr.
SOURCE: Prostaglandins, Leukotrienes and Essential Fatty Acids (2005), 73(6), 411-417
CODEN: PLEAEU; ISSN: 0952-3278
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The arachidonic acid metabolizing enzymes, the cyclooxygenases (COXs) and lipoxygenases (LOXs), have been implicated in the development of a variety of cancers and numerous new therapeutic inhibitors are currently under investigation. However, given the interdependence of the two pathways, the effect of inhibiting one pathway with relatively selective agents can only be appreciated in the in vivo situation. Clearly then, because of their potential beneficial or deleterious effects, it is important to understand the nature and levels of the resulting arachidonic acid metabolites when treating patients with relatively selective inhibitor drugs. In this study, using reference COX-2, 5-LOX and dual COX-2/5-LOX inhibitors, we devised a protocol which permitted the simultaneous quantification of eicosanoid metabolites formed during stimulation of human peripheral venous blood samples with the calcium ionophore, A23187, in the absence and presence of lipopolysaccharide (LPS). Not surprisingly, the end products of both COX and LOX pathways were affected depending on the inhibitor, or combination of inhibitors, used and the concns. of drug tested. In conclusion, the method described permits the rapid screening of novel compds. for potentially pos. and/or neg. effects upon the products of arachidonic acid metabolism
IT 874919-57-0
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(modification of eicosanoid profile in human blood treated by dual COX/LOX inhibitors)
RN 874919-57-0 HCAPLUS
CN 1H-Pyrido[4,3-b]indole, 5-(4-fluorobenzoyl)-2-[2-[3-fluoro-5-(tetrahydro-4-methoxy-2H-pyran-4-yl)phenoxy]ethyl]-2,3,4,5-tetrahydro-7-methoxy- (9CI) (CA INDEX NAME)

L13 ANSWER 205 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

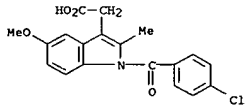
L13 ANSWER 206 OF 3791 HCAPLUS COPYRIGHT.2006 ACS on STN
ED Entered STN: 02 Nov 2005
ACCESSION NUMBER: 2005:1166670 HCAPLUS
DOCUMENT NUMBER: 144:80831
TITLE: Low direct cytotoxicity of nabumetone on gastric mucosal cells
AUTHOR(S): Arai, Yasuhiro; Tanaka, Ken-Ichiro; Ushijima, Hironori; Tomisato, Wataru; Tsutsumi, Shinji; Aburaya, Mayuko; Hoshino, Tatsuya; Yokomizo, Kazumi; Suzuki, Keitarou; Katsu, Takashi; Tsuchiya, Tomofusa; Mizushima, Tohru
CORPORATE SOURCE: Graduate School of Medical and Pharmaceutical Sciences, Kumamoto University, Kumamoto, 862-0973, Japan
SOURCE: Digestive Diseases and Sciences (2005), 50(9), 1641-1646
CODEN: DDSCDJ; ISSN: 0163-2116
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Prodrugs of non-steroidal anti-inflammatory drugs (NSAIDs) are widely used for clin. purposes because they are not harmful to the gastrointestinal mucosa. We recently showed that NSAIDs have direct cytotoxicity in NSAID-induced gastric lesions. We show here that under conditions where the NSAIDs indomethacin and celecoxib clearly induce cell death, an NSAID prodrug, nabumetone, and its active metabolite 6-methoxy-2-naphthylacetic acid (6MNA), did not have such effects. Moreover, nabumetone and 6MNA exhibited much lower membrane permeabilizing activities than did indomethacin and celecoxib. We recently reported that when an orally administered NSAID was used in combination with a low dose of i.v. administered indomethacin, the severity of gastric lesions produced in rats depended on the cytotoxicity of the orally administered NSAID. Using a similar protocol, we show here that gastric lesions were produced when the orally administered NSAID was celecoxib, but not when nabumetone was used. We thus propose that the low direct cytotoxicity of nabumetone observed in vitro is maintained in vivo, and that the use of nabumetone does not harm the gastric mucosa.
IT 53-86-1, Indomethacin
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nabumetone and 6MNA induced necrosis, apoptosis in lesser extent compared to NSAIDs celecoxib and indomethacin and celecoxib but not nabumetone aided in production of gastric lesions with i.v. indomethacin in gastric mucosal cells)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 206 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L13 ANSWER 207 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 Nov 2005
ACCESSION NUMBER: 2005:1165608 HCAPLUS
DOCUMENT NUMBER: 144:56712
TITLE: Determination of endocrine-disrupting phenols, acidic pharmaceuticals, and personal-care products in sewage by solid-phase extraction and gas chromatography-mass spectrometry
AUTHOR(S): Lee, Hing-Biu; Peart, Thomas E.; Svoboda, M. Lewina
CORPORATE SOURCE: Aquatic Ecosystem Protection Research Branch, Environment Canada, National Water Research Institute, Burlington, ON, L7R 4A6, Can.
SOURCE: Journal of Chromatography, A (2005), 1094(1-2), 122-129
CODEN: JCRAEY; ISSN: 0021-9673
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The occurrence, fate, and effects of phenols with endocrine-disrupting properties as well as some pharmaceuticals and personal-care products in the environment have frequently been discussed in recent literature. In many cases, these compds. were determined using individual methods which can be time-consuming if results for multiple parameters are required. Using a solid-phase extraction procedure with an anion exchanger, we have developed and optimized a multi-residue method for the extraction of 21 phenols and acids in sewage influent and effluent. The phenols and acids were then selectively eluted in sep. fractions and were converted into pentafluoropropionyl (PFP) and tert-butyldimethylsilyl (TBDMS) derivs., resp., for gas chromatog.-mass spectrometric (GC/MS) determination When applied to the sewage samples under study, the results for nonylphenol, bisphenol A (BPA), triclosan (TCS), 17β-estradiol (E2), estrone (E1), salicylic acid, ibuprofen, naproxen, diclofenac, and a few other acidic drugs were consistent with those determined previously by individual methods. Using the same procedure, we also report, for the 1st time, the occurrence of 2-phenylphenol and parabens in those sewage samples.
IT 53-86-1, Indomethacin
RL: ANT (Analyte); ANST (Analytical study)
(determination of endocrine-disrupting phenols and acidic pharmaceuticals and personal-care products in sewage by solid-phase extraction and gas chromatog.-mass spectrometry)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

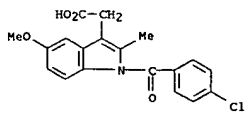


L13 ANSWER 207 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 208 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 28 Oct 2005
ACCESSION NUMBER: 2005:1154777 HCAPLUS
DOCUMENT NUMBER: 143:433974
TITLE: Gene expression profiling and markers for use in the assessment of hepatotoxicity
INVENTOR(S): Porter, Mark; Higgs, Brandon; Mendrick, Donna; Elashoff, Michael
PATENT ASSIGNEE(S): Gene Logic, Inc., USA
SOURCE: PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005100989 | A2 | 20051027 | WO 2005-US11532 | 20050407 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2562343 | A1 | 20051027 | CA 2005-2562343 | 20050407 |
| PRIORITY APPLN. INFO.: | | | US 2004-559949P P | 20040407 |
| | | | WO 2005-US11532 W | 20050407 |

AB Methods of using the effects of a substance on gene expression profiles are described for use in assessing their toxicity, especially hepatotoxicity, are described. The invention also includes microarrays, computer systems comprising the toxicity prediction models, as well as methods of using the computer systems by remote users for determining the toxicity of test agents. A database of gene expression profiles for rat liver using a broad range of drugs, com. chems., and known poisons is developed.
IT 53-86-1, Indomethacin
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); BIOL (Biological study)
(assessing hepatotoxicity of; gene expression profiling and markers for use in assessment of hepatotoxicity)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

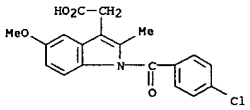


L13 ANSWER 208 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L13 ANSWER 209 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 21 Oct 2005
ACCESSION NUMBER: 2005:1132639 HCAPLUS
DOCUMENT NUMBER: 143:392559
TITLE: Compositions comprising COX inhibitors and topically applied aldosterone antagonists, and methods for moisturizing skin
INVENTOR(S): Katz, Kenneth A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005232957 | A1 | 20051020 | US 2005-104413 | 20050413 |
| PRIORITY APPLN. INFO.: | | | US 2004-561884P | P 20040414 |

AB The inventive subject matter relates to novel topically applied specific and non-specific COX inhibitors, and topically applied aldosterone antagonists, and methods for producing increased skin moisturization. These compns. provide a new treatment option for dry skin.
IT 53-86-1, Indomethacin
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising COX inhibitors and topically applied aldosterone antagonists, and methods for moisturizing skin)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

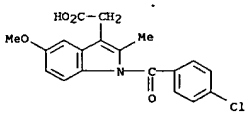



L13 ANSWER 210 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 21 Oct 2005
ACCESSION NUMBER: 2005:1132617 HCAPLUS
DOCUMENT NUMBER: 143:393082
TITLE: Nonsteroidal immunomodulating kit and composition and uses thereof
INVENTOR(S): Tamarkin, Dov; Eini, Meir; Friedman, Doron
PATENT ASSIGNEE(S): Foamix Ltd., Israel
SOURCE: U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U.S. Ser. No. 911,367.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005232869 | A1 | 20051020 | US 2005-78902 | 20050311 |
| WO 2004037225 | A2 | 20040506 | WO 2003-IB5527 | 20031024 |
| WO 2004037225 | A3 | 20041229 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2005069566 | A1 | 20050331 | US 2004-911367 | 20040804 |
| PRIORITY APPLN. INFO.: | | | IL 2002-152486 | A 20021025 |
| | | | US 2002-429546P | P 20021129 |
| | | | US 2003-492385P | P 20030804 |
| | | | WO 2003-IB5527 | A2 20031024 |
| | | | US 2004-911367 | A2 20040804 |

AB A composition and therapeutic kit including an aerosol packaging assembly including a container accommodating a pressurized product and an outlet capable of releasing a foamable composition, including a nonsteroidal immunomodulating agent as a foam. The pressurized product includes a foamable composition including: a) a container accommodating a pressurized product; and b) an outlet capable of releasing the pressurized product as a foam; wherein the pressurized product comprises a foamable composition including: i. a nonsteroidal immunomodulating agent; ii. at least one organic carrier selected from the group consisting of a hydrophobic organic carrier, a polar solvent, an emollient and mixts. thereof, at a concentration of about 2% to about 50% by weight;
iii. a surface-active agent; iv. about 0.1% to about 5% by weight of a therapeutically active foam adjuvant, selected from the group consisting of a fatty alc., a fatty acid, a hydroxy fatty acid; and mixts. thereof; v. about 0.01 % to about 5% by weight of at least one polymeric additive selected from the group consisting of a bioadhesive agent, a gelling agent, a film forming agent and a phase change agent; vi. water; and vii. liquefied or compressed gas propellant at a concentration of about 3% to about
25% by weight of the total composition
IT 53-86-1, Indomethacin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

L13 ANSWER 210 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(nonsteroidal immunomodulating kit and compn. and uses thereof)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



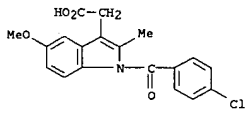
L13 ANSWER 211 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 Oct 2005
ACCESSION NUMBER: 2005:1123725 HCAPLUS
DOCUMENT NUMBER: 143:410673
TITLE: Dissolvable tooth whitening strip comprising a polymer system
INVENTOR(S): Buch, R. Michael; Gambogi, Robert J.; Velada, Jose
PATENT ASSIGNEE(S): Glaxosmithkline, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005097053 | A1 | 20051020 | WO 2005-US10941 | 20050331 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2005231416 | A1 | 20051020 | AU 2005-231416 | 20050331 |
| WO 2006107334 | A1 | 20061012 | WO 2005-US35518 | 20051004 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.: US 2004-558798P P 20040401
WO 2005-US10941 W 20050331

AB The present invention provides a dissolvable strip for whitening teeth. The strip, which is preferably a single layer, has a whitening agent and a water-soluble or water dispersible polymer system. The dissoln. of the whitening composition is controlled by interaction of the whitening composition with an oral environment containing saliva. The present invention further provides a process for preparing the whitening strip in the form of a dry film and a method of whitening teeth. Thus, a whitening strip was prepared by mixing water 64.8%, carbamide peroxide 10%, Gantrez MS-955 9%, glycerin 8%, Plasdone K-90 8%, Pluronic F-68 0.1%, citric acid 0.05% and EDTA 0.05%, followed by drying at 37° for approx. 30 min.

L13 ANSWER 211 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT 53-86-1, Indomethacin
RL: COS (Cosmetic use); DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dissolvable tooth whitening strip comprising peroxide and polymer system)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



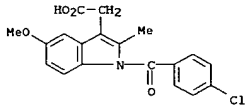
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 212 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Oct 2005
ACCESSION NUMBER: 2005:1116221 HCAPLUS
DOCUMENT NUMBER: 144:164128
TITLE: Screening for new antioxidative compounds for topical administration using skin lipid model systems
AUTHOR(S): Trommer, Hagen; Neubert, Reinhard H. H.
CORPORATE SOURCE: Institute of Pharmaceutics and Biopharmaceutics, School of Pharmacy, Martin-Luther-University Halle-Wittenberg, Halle, D-06120, Germany
SOURCE: Journal of Pharmacy & Pharmaceutical Sciences (2005), 8(3), 494-506
CODEN: JPPSFY; ISSN: 1482-1826
URL: http://www.ualberta.ca/~csps/JPPS8(3)/H.Trommer/lipid.pdf
PUBLISHER: Canadian Society for Pharmaceutical Sciences
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English

AB Purpose: The effects of forty seven different substances (drugs, plant exts., plant ingredients and polysaccharides) on UV irradiation induced lipid peroxidn. were investigated. Methods: Two lipid systems of different complexity were used as in vitro screening models. Iron ions were added as transition metal catalysts. A UV irradiation device was used to create high level radiation. The amount of lipid peroxidn. secondary products was quantified by the thiobarbituric acid assay detecting malondialdehyde. Results: The screening for antioxidative compds. for topical administration resulted in new, interesting findings. In the drug testings amantadine, bufexamac, tryptophan, melatonin, propranolol and hyaluronic acid were found to act antioxidatively whereas for ascorbic acid pro-oxidative effects were determined Buckwheat extract significantly reduced the level of irradiation induced lipid peroxidn. as well as the exts. of St. John's Wort, melissa and sage. The resistant starch novelose 330 and the samples of locust bean gum from a swing mill grinding series showed lipid protection after UV irradiation in the polysaccharide test rows. Conclusions: Human skin is constantly exposed to UV light and oxygen. Therefore, the administration of protectors in cosmetic formulations or sunscreens, as found in this study, may be helpful for the protection of the human skin against UV induced damage. In vivo expts. with substances found as protectors should follow to allow in vitro-in vivo correlation and clin. interpretation of the data.

IT 53-86-1, Indometacin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(indomethacin augmented malondialdehyde amount of human stratum corneum lipid after UV induced lipid peroxidn. in in vitro lipid model screening system)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

L13 ANSWER 212 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 213 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Oct 2005
ACCESSION NUMBER: 2005:1103549 HCAPLUS
DOCUMENT NUMBER: 143:373362
TITLE: S/O type pharmaceutical preparation and process for producing the same
INVENTOR(S): Goto, Masahiro; Kamiya, Noriho; Watanabe, Junji; Yokoyama, Hideakira; Hirata, Akihiko; Fujii, Takeru
PATENT ASSIGNEE(S): Aspion Co., Ltd., Japan
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

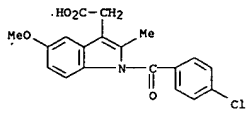
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005094789 | A1 | 20051013 | WO 2005-JP6812 | 20050331 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1731139 | A1 | 20061213 | EP 2005-728929 | 20050331 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |

PRIORITY APPLN. INFO.: JP 2004-103347 A 20040331
WO 2005-JP6812 W 20050331

AB Disclosed is a pharmaceutical preparation having such characteristics that while the leakage of low-mol. medicine in a strong-acid environment is remarkably reduced, low-mol. medicine is reduced in the intestinal tract, etc. in a weak-acid to neutral environment. There is provided an S/O (solid-in-oil) type pharmaceutical preparation having a medicine-containing complex dissolved or dispersed in an oil phase, characterized in that the complex is one comprising a mixture, containing a hydrophilic low-mol. medicine and a hydrophilic medicine-leakage-inhibiting protein and/or medicine-leakage-inhibiting polysaccharide, coated with a surfactant. Thus, sodium diclofenac, bovine serum albumin, sucrose erucate was mixed to form a water-in-oil emulsion, then the emulsion was freeze-dried to make a albumin-containing surfactant/diclofenac sodium composite. The composite was dispersed in a soybean oil by using ultrasonic wave to obtain a S/O composite suspension.

IT 53-86-1, Indomethacin
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(S/O type pharmaceutical compns. containing surfactant-containing drug/drug-leakage-inhibiting proteins or polysaccharide composites, and

L13 ANSWER 213 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
process for producing same)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 214 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Oct 2005
ACCESSION NUMBER: 2005:1103548 HCAPLUS
DOCUMENT NUMBER: 143:353431
TITLE: Fine dispersion of sparingly soluble drug and process for producing the same
INVENTOR(S): Kubo, Yoshiko; Yamakawa, Tetsumi; Yamasaki, Yasuomi
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005094788 | A1 | 20051013 | WO 2005-JP5736 | 20050328 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1731138 | A1 | 20061213 | EP 2005-727436 | 20050328 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |

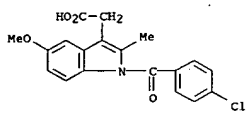
PRIORITY APPLN. INFO.: JP 2004-102780 A 20040331
WO 2005-JP5736 W 20050328

AB Disclosed are an effective and simple process for producing a fine dispersion of a sparingly soluble drug; and a fine sparingly-soluble drug dispersion having excellent dispersion stability. In a first step, a sparingly soluble drug is suspended in a liquid containing no pulverizing agent and the suspension is subjected to a high-pressure treatment with a high-pressure homogenizer. In a second step, a pulverizing agent is added to the dispersion obtained in the first step and this dispersion is subjected to a pulverization treatment such as a high-pressure treatment with a high-pressure homogenizer or an ultrasonic treatment. Thus, a fine dispersion of the sparingly soluble drug is effectively and simply produced in which the size of the particles dispersed is on the order of nanometer. The fine sparingly-soluble-drug dispersion produced has excellent dispersion stability and the fine particles of the sparingly soluble drug do not suffer aggregation/sedimentation even upon standing. Also provided is an excellent medicinal preparation reduced in the content of contaminants. It is obtained from the thus-produced fine dispersion of the sparingly soluble drug. For example, T-3912 suspended in water was homogenized using a high-pressure homogenizer. An aqueous solution of hydroxypropyl Me cellulose was added to the above solution and the mixture was repeatedly homogenized using a high-pressure homogenizer to give a microgranular dispersion. The dispersion was centrifuged and the upper layer was passed through a

L13 ANSWER 214 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
membrane filter, then mixed with chitosan and glycerin to give an isotonic soln. for eye drops.

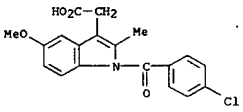
IT 53-86-1, Indomethacin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fine dispersion of sparingly soluble drug and process for producing the same)

RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



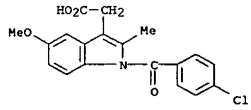
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 215 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Oct 2005
ACCESSION NUMBER: 2005:1101681 HCAPLUS
DOCUMENT NUMBER: 144:74561
TITLE: Characterization of indomethacin-loaded lipid nanoparticles by differential scanning calorimetry
AUTHOR(S): Castelli, Francesco; Puglia, Carmelo; Sarpietro, Maria Grazia; Rizza, Luisa; Bonina, Francesco
CORPORATE SOURCE: Department of Chemical Sciences, University of Catania, Catania, 95125, Italy
SOURCE: International Journal of Pharmaceutics (2005), 304(1-2), 231-238
CODEN: IJPHDE; ISSN: 0378-5173
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Solid lipid nanoparticles (SLN) and nanostructured lipid carriers (NLC) are interesting nanoparticulate delivery systems produced from solid lipids. Both carrier types are submicron size particles but they can be distinguished by their inner structure. In the present paper, indomethacin (IND)-loaded SLN and NLC were prepared and the organization and distribution of the different ingredients originating each type of nanoparticle system were studied by differential scanning calorimetry (DSC) technique. Furthermore, mean particle size and percentage of drug encapsulation were also determined From the results obtained, NLC lipid organization guaranteed an increased indomethacin encapsulation in comparison with SLN. DSC static and dynamic measurements performed on SLN and NLC showed that oil nanocompartments incorporated into NLC solid matrix drastically influenced drug distribution inside the nanoparticle system. Controlled release from NLC system could be explained considering both drug partition between oil nanocompartments and solid lipid and a successive partition between solid lipid and water.
IT 53-86-1, Indomethacin
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(characterization of indomethacin-loaded lipid nanoparticles by differential scanning calorimetry)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



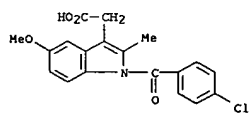
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 216 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Oct 2005
ACCESSION NUMBER: 2005:1098637 HCAPLUS
DOCUMENT NUMBER: 144:341
TITLE: Pharmacological Investigation of Trimetazidine in Models of Inflammation, Pain and Gastric Injury in Rodents
AUTHOR(S): Abdel-Salam, Omar M. E.; El-Batran, Siham
CORPORATE SOURCE: Department of Pharmacology, National Research Centre, Cairo, Egypt
SOURCE: Pharmacology (2005), 75(3), 122-132
CODEN: PHMGBN; ISSN: 0031-7012
PUBLISHER: S. Karger AG
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The antinociceptive, anti-inflammatory and gastric effects of trimetazidine (2,3,4-trimethoxybenzyl-piperazine dihydrochloride), a novel anti-ischemic compound, were evaluated in various animal models. In acute pain models, namely acetic acid-induced writhing, hot-plate assay, tail elec. stimulation test, capsaicin-induced pain and the formalin test, trimetazidine (1.8-7.2 mg/kg, i.p.) showed marked antinociceptive effects. Trimetazidine did not produce any behavioral impairment as revealed by the mouse rotarod. The inhibition of writhing response by trimetazidine was reduced by yohimbine, theophylline (and to a certain extent by sulpiride) but not by prazosin, guanethidine, naloxone, atropine, propranolol, haloperidol, domperidone, clozapine, glibenclamide or caffeine. The carrageenan-evoked acute paw edema was reduced by 19.2-21.2 and 17-18.6% by 3.6 and 7.2 mg/kg trimetazidine, resp. The drug did not alter the edema-suppressive effect of indomethacin or dexamethasone, but reduced that of rofecoxib. Trimetazidine at 7.2 mg/kg reduced immobility time in Porsolt's forced-swimming test by 28.9%. The acute gastric mucosal lesions evoked by indomethacin in the rat were inhibited in a dose-dependent manner by co-administration of trimetazidine. In anesthetized rats, trimetazidine potentiated the gastric acid secretory response. This study indicates that trimetazidine possesses antinociceptive and gastric protective properties. The antinociceptive properties of trimetazidine are likely to be centrally mediated, but do not involve opioid pathways.
IT 53-86-1, Indomethacin
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. investigation of trimetazidine in models of inflammation, pain and gastric injury in rodents)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 216 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

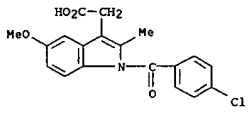
L13 ANSWER 217 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Oct 2005
ACCESSION NUMBER: 2005:1097880 HCAPLUS
DOCUMENT NUMBER: 144:141576
TITLE: An update on the other telomerase inhibitors: Non-G-quadruplex interactive agent, non-antisense, non-reverse transcriptase telomerase inhibitors
AUTHOR(S): Beltz, L. A.; Manfredi, K. P.
CORPORATE SOURCE: Department of Biology, University of Northern Iowa, Cedar Falls, IA, 50614, USA
SOURCE: Medicinal Chemistry Reviews--Online (2005), 2(4), 325-343
CODEN: MCREC9; ISSN: 1567-2034
URL: http://www.ingentaconnect.com/content/ben/mcro/2005/00000002/00000004/art00006
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal; General Review; (online computer file)
LANGUAGE: English
AB A review. Human telomeres are several kilobases of repeated (TTAGGG)n sequences at the ends of chromosomes, a short fragment of which is lost with each cell division. This shortening serves as a "mitotic clock", limiting the number of divisions which normal somatic cells can undergo. Cells undergoing continuous division need some method of bypassing this clock. One such method is the expression of telomerase, a ribonucleoprotein that rebuilds the lost portion of telomeres. Between 80-95% of tumors are telomerase-pos., including ovarian and hepatocellular carcinoma, neuroblastoma, leukemia/lymphoma, and cancers of the breast, prostate, lung, kidneys and bladder, and many immortalized cell lines. While absent in most normal tissues, it is expressed at higher levels in germline tissues, bone marrow, and lymphocytes. Due to telomerase expression in most tumor cells and its absence in most normal tissues, telomerase inhibitors are being investigated as anticancer agents. This review focuses on non-reverse transcriptase inhibitor, non-oligonucleotide, non-G-quartet interactive agent telomerase inhibitors. These agents include: differentiating agents, kinases and phosphatases, cell cycle and apoptosis regulating agents, immunotherapeutic agents, antibiotics, steroids, bisindole derivs., and a variety of other compds., including herbal medical compds. and cyclooxygenase inhibitors. These agents hold great promise for the future treatment of malignancies.
IT 53-86-1, Indomethacin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(non-G-quartet interactive indomethacin are being studied as anticancer agent and holds great promise for future treatment of malignancies)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



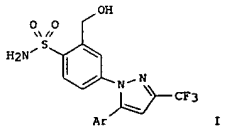
REFERENCE COUNT: 233 THERE ARE 233 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L13 ANSWER 217 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
FORMAT

L13 ANSWER 218 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 Oct 2005
ACCESSION NUMBER: 2005:1089143 HCAPLUS
DOCUMENT NUMBER: 143:318334
TITLE: Sex-related differences in the antinociceptive effect of some non-narcotic analgetics in rats: the role of biotransformation
AUTHOR(S): Voloshchuk, N. I.; Pentyuk, A. A.; Durnev, A. D.
CORPORATE SOURCE: Vinnitsa National Medical University, Vinnitsa, 21018, Ukraine
SOURCE: Eksperimental'naya i Klinicheskaya Farmakologiya (2005), 68(4), 56-59
CODEN: EKFAE9; ISSN: 0869-2092
PUBLISHER: Izdatel'stvo Folium
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Non-narcotic analgetics sodium diclofenac, indomethacin, naproxen, nimesulid, ketorolac, and celebrex (cytochrome P 4502c substrates) produce more pronounced and prolonged analgesic effect in pubertate female rats than in males. This can be related to the slower elimination of drugs from the female organism. The liver of females is characterized by a lower content of cytochrome P 450 and by less pronounced activity of amidopyrine-N-, indomethacin-O-, and naproxen-O-demethylase activity. No sex-related differences in pharmacodynamics were observed for meloxicam, and ethoricoxib, benzofurocaine, and amison, and acetylsalicylic acid, which are the substrates predominantly for CYP3A.
IT 53-86-1, Indomethacin
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(role of biotransformation in sex-related differences on antinociceptive effect of some non-narcotic analgetics in rats)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



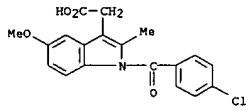
L13 ANSWER 219 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 10 Oct 2005
ACCESSION NUMBER: 2005:1084376 HCAPLUS
DOCUMENT NUMBER: 144:6719
TITLE: Synthesis and SAR/3D-QSAR studies on the COX-2 inhibitory activity of 1,5-diarylpyrazoles to validate the modified pharmacophore
AUTHOR(S): Singh, Sunil K.; Saibaba, V.; Rao, K. Srinivasa; Reddy, P. Ganapati; Daga, Pankaj R.; Rajjak, S. Abdul; Misra, Parimal; Rao, Y. Koteswar
CORPORATE SOURCE: Discovery Chemistry, Discovery Research-Dr. Reddy's Laboratories Ltd., Hyderabad, 500 049, India
SOURCE: European Journal of Medicinal Chemistry (2005), 40(10), 977-990
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Diverse analogs of 1,5-diarylpyrazoles having 3-hydroxymethyl-4-sulfamoylphenyl or 3-hydroxymethyl-4-methylsulfonylphenyl group at N1 were synthesized and evaluated for their in vitro cyclooxygenase (COX-1/COX-2) inhibitory activity. The SAR study mainly involved the variations at positions C-3, C-5 and N1 of the pyrazole ring. Several small hydrophobic groups at/around the para position of C-5 Ph, such as in title compds. I [R = 3,4-dimethylphenyl, 3-methyl-4-(methylthio)phenyl, 2,3-dihydrobenzothien-5-yl], produced impressive COX-2 inhibitory potency. In general, replacement of CF3 group with CHF2 resulted in more potent inhibitors. The three dimensional quant. structure activity relationship comprising comparative mol. field anal. (3D-QSAR-CoMFA) afforded the models with high predictability which further validated the acceptance of hydroxymethyl (CH2OH) group in the hydrophilic pocket of the COX-2 enzyme.
IT 53-86-1, Indomethacin
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and structure-activity studies of diarylpyrazoles as inhibitors of COX-2)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

L13 ANSWER 219 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

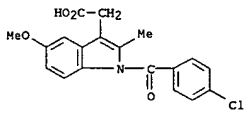
L13 ANSWER 220 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Oct 2005
ACCESSION NUMBER: 2005:1077130 HCAPLUS
DOCUMENT NUMBER: 143:379017
TITLE: Distribution of the novel antifolate pemetrexed to the brain
AUTHOR(S): Dai, Haiqing; Chen, Ying; Elmquist, William F.
CORPORATE SOURCE: Department of Pharmaceutics, University of Minnesota, Minneapolis, MN, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2005), 315(1), 222-229
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Pemetrexed disodium is a novel antifolate that exhibits potent inhibitory effects on multiple enzymes in folate metabolism Phase II/III clin. trials have shown that pemetrexed is effective against various solid tumors. Like methotrexate, pemetrexed may be useful in treatment of primary and secondary brain tumors. In this study, we examined the central nervous system (CNS) distribution of pemetrexed and the interaction with an organic anion transport inhibitor indomethacin. Male Wistar rats were administered pemetrexed by either single i.v. bolus or constant i.v. infusion. Unbound pemetrexed in blood and brain was measured by simultaneous arterial blood and frontal cortex microdialysis sampling. In the i.v. bolus expts., indomethacin was administered by i.v. bolus (10 mg/kg) followed by i.v. infusion (0.1 mg/kg/h) in a crossover manner. In the infusion expts., the same dose of indomethacin was administered after a steady state was reached for pemetrexed. CNS distributional kinetics was analyzed by compartmental and noncompartmental methods. Both bolus and infusion studies showed that pemetrexed has a limited CNS distribution. The mean area under concentration-time curve (AUC)brain/AUCplasma ratio of unbound pemetrexed was 0.078 ± 0.038 in the i.v. bolus study. The pemetrexed steady-state brain-to-plasma unbound concentration ratio after i.v. infusion was 0.106 ± 0.054. The distributional clearance into the brain was approx. 10% of the clearance out of the brain in both the compartmental and noncompartmental analyses. Indomethacin had no effect on either the brain-to-plasma AUC ratio or the steady-state brain-to-plasma concentration ratio. The distribution of pemetrexed into the brain is limited, and an efflux clearance process, such as an efflux transporter, may be involved.

IT 53-86-1, Indomethacin
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(distribution of novel antifolate pemetrexed to brain)

RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



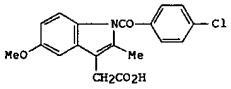



REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10518612 and 10519219

=> d ed ibib abs hitstr l13 2900-2905

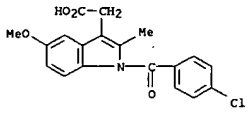
L13 ANSWER 2900 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1983:204504 HCAPLUS
DOCUMENT NUMBER: 98:204504
TITLE: The influence of the microcapsule wall on the assay of indomethacin microcapsules in the presence of antacids - implications for product stability
AUTHOR(S): Rowe, J. S.; Carless, J. E.
CORPORATE SOURCE: Dep. Pharm., Sch. Pharm., London, WC1N 1AX, UK
SOURCE: International Journal of Pharmaceutics (1983), 13(3), 313-20
CODEN: IJPHDE; ISSN: 0378-5173
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



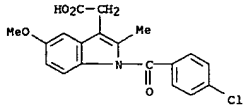
I

AB indomethacin (I) [53-86-1] microcapsules prepared by a gelatin-acacia complex coacervation technique were assayed by extraction with 70% aqueous MeOH and subsequent UV absorption of the filtered solution at 320 nm. In the presence of the antacid hydrotalcite [12304-65-3], a recovery of approx. 50% of I from the microcapsules was observed Paradoxically, complete recovery of unencapsulated I in the presence of antacid was found when subjected to the same anal. technique. The hydrolysis products were identified as p-chlorobenzoic acid [74-11-3] and 5-methoxy-2-methylindole-3-acetic acid [2882-15-7] which were identical to those obtained by the hydrolysis in aqueous NaOH, together with a 3rd product, Me p-chlorobenzoate [1126-46-1]. The capsule wall thus had a catalytic effect in causing the decomposition of the core in the assay procedure. However, removal of the antacid prior to assay by adding an excess of dilute HCl prevented the decomposition
IT 53-86-1
RL: ANT (Analyte); ANST (Analytical study)
(determination of, in microcapsules in presence of talcite by spectrophotometry, microcapsule wall in relation to)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

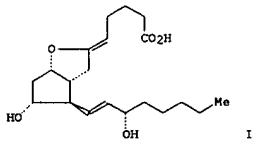
L13 ANSWER 2900 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L13 ANSWER 2901 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1983:195506 HCAPLUS
DOCUMENT NUMBER: 98:195506
TITLE: Biosynthesis of lipoxygenase products by ocular tissues
AUTHOR(S): Williams, Richard N.; Bhattacherjee, Parimal; Eakins, Kenneth E.
CORPORATE SOURCE: Pharmacol. Dep., Wellcome Res. Lab., Beckenham/Kent, BR3 3BS, UK
SOURCE: Experimental Eye Research (1983), 36(3), 397-402
CODEN: EXERA6; ISSN: 0014-4835
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The metabolism of arachidonic acid via the lipoxygenase pathway was investigated in conjunctival and iris tissue taken from eyes of various species. The effects of 2 inhibitors of arachidonate metabolism, BW 755 and indomethacin, on albino rabbit ocular tissues were also studied. The ocular tissues of most species (monkey, dog, cat, rabbit, guinea pig, and rat) formed lipoxygenase products from exogenous arachidonic acid. The exception was the albino rabbit iris, where no lipoxygenase product was detected. The major lipoxygenase product found was 12-hydroxyeicosatetraenoate (12-HETE), although 5-HETE and 5,12-dihydroxyeicosatetraenoate were formed to a lesser extent by the conjunctive and iris of the Dutch rabbit. The rat ocular tissues and guinea pig conjunctiva also formed 5-HETE. In the conjunctiva of the albino rabbit, indomethacin was a relatively specific inhibitor of the cyclooxygenase pathway, whereas BW 755 inhibited both the cyclooxygenase and lipoxygenase pathways of arachidonic acid metabolism Dual inhibitors of cyclooxygenase and lipoxygenase pathways may be useful agents to control ocular inflammatory responses.
IT 53-86-1
RL: BIOL (Biological study)
(arachidonate cyclooxygenase pathway inhibition by, in eye conjunctiva)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

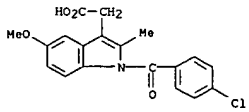


L13 ANSWER 2902 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1983:192410 HCAPLUS
DOCUMENT NUMBER: 98:192410
TITLE: Relaxation of human isolated pulmonary arteries by prostacyclin (PGI2)
AUTHOR(S): Hadhazy, P.; Vizi, E. S.; Magyar, K.; Debreczeni, L. A.; Hutas, I.
CORPORATE SOURCE: Dep. Pharmacodyn., Semmelweis Univ. Med., Budapest, H-1445, Hung.
SOURCE: Lung (1983), 161(2), 123-30
CODEN: LUNGD9; ISSN: 0341-2040
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

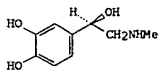


I

AB The increased tone of isolated human pulmonary arteries resulting from indomethacin [53-86-1], elec. stimulation, norepinephrine, PGF2α, or K+ excess was dose dependently decreased by PGI2 (I) [35121-78-9]. IC50 values (molar concns. producing 50% relaxation) were 10-58.8 nmol/L. The potency of the relaxant effect of I was inversely related to the magnitude of tone induced prior to addition of I and independent of the type of tone inducer. The relaxant effect of I on the human pulmonary artery may be of clin. importance in the treatment of conditions associated with a rise in pulmonary vascular resistance.
IT 53-86-1
RL: BIOL (Biological study)
(pulmonary artery of human contraction by)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

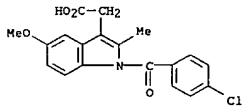


L13 ANSWER 2903 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1983:192254 HCAPLUS
DOCUMENT NUMBER: 98:192254
TITLE: Shifts in the lipid peroxide content in adrenaline injury of the myocardium and their depression by indomethacin
AUTHOR(S): Sisakyan, S. A.; Semerdzhyan, L. V.; Mkhitaryan, V. G.
CORPORATE SOURCE: Erevan. Med. Inst., Yerevan, USSR
SOURCE: Zhurnal Eksperimental'noi i Klinicheskoi Meditsiny (1982), 22(6), 494-7
CODEN: ZKMAAX; ISSN: 0514-7484
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

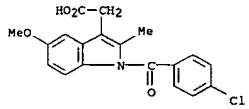


I

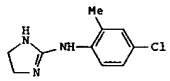
AB adrenaline (I) [51-43-4] injected i.m. into rats produced myocardial infarction accompanied by an increase in the lipid peroxide content of the heart. The effect of I on lipid peroxidn. was prevented if indomethacin [53-86-1] was administered simultaneously with the catecholamine.
IT 53-86-1
RL: BIOL (Biological study)
(lipid peroxidn. in heart infarction from adrenaline prevention by)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 2904 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1983:191451 HCAPLUS
DOCUMENT NUMBER: 98:191451
TITLE: Effect of indomethacin on postsurgical edema in rats
AUTHOR(S): Amin, Mohamed M.; Engel, Milton B.; Laskin, Daniel M.
CORPORATE SOURCE: Coll. Dent., Tanta Univ., Tanta, Egypt
SOURCE: Oral Surgery, Oral Medicine, Oral Pathology (1983), 55(3), 244-6
CODEN: OSOMAE; ISSN: 0030-4220
DOCUMENT TYPE: Journal
LANGUAGE: English
AB I.m. indomethacin (I) [53-86-1] was as effective as hydrocortisone succinate [2203-97-6] in controlling edema resulting from exptl.-induced surgical trauma in rats. Both drugs produced a significant reduction in tissue water, but no difference could be detected between the effects of the 2 drugs. I may be useful clin. for control of postsurgical swelling and pain.
IT 53-86-1
RL: BIOL (Biological study)
(edema from surgery treatment with)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

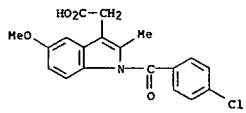


L13 ANSWER 2905 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1983:191443 HCAPLUS
DOCUMENT NUMBER: 98:191443
TITLE: Therapeutic and adjunctive applications of an imidazoline antiinflammatory agent
AUTHOR(S): Holsapple, Michael P.; Trizzino, Jeannie; Nichols, David E.; Yim, George K. W.
CORPORATE SOURCE: Dep. Pharmacol. Toxicol., Purdue Univ., West Lafayette, IN, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1983), 224(3), 567-71
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A unique combination of anti-inflammatory and antiulcerogenic activities is decribed for 2-(2 methyl-4-chlorophenylamino)-2-imidazoline (CDMI)(I) [4201-26-7]. CDMI administered i.p. produced a dose-related decrease in aspirin [50-78-2]-induced ulcers which persisted even in the presence of exogenously added HCl. The carrageenin-edema reducing activities of i.p. CDMI and oral aspirin were additive. When oral CDMI was combined with oral aspirin or oral indomethacin [53-86-1], the combinations also resulted in additive anti-inflammatory activities (80 and 94% vs. 52% for CDMI, 62% for aspirin and 71% for indomethacin alone). Moreover, gastric ulcerogenicity was reduced by 92% when either aspirin or indomethacin was combined with CDMI. CDMI was also tested against a developing acute inflammatory reaction. When administered at 2 h post carrageenin, CDMI was as effective as when it was administered 30 min before the carrageenin. These results are discussed as a possible reflection of an action on the lipoxygenase [9029-60-1] pathways of the arachidonic acid [506-32-1] cascade that is not shared by the classical nonsteroidal anti-inflammatory agents.
IT 53-86-1
RL: BIOL (Biological study)
(anti-inflammatory and antiulcer activity of imidazoline derivative in combination with)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 2905 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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